141396

U.S. DEPARTMENT OF COMMERCE
Patent and Trademark Office

# SEARCH REQUEST FORM

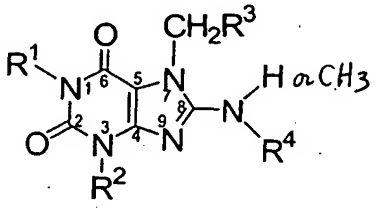
Requestor's Name: BERCH  Serial Number: 10/777849

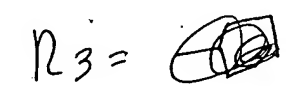
Date: 12/28/04  Phone: 571-272-0663  Art Unit: 1624

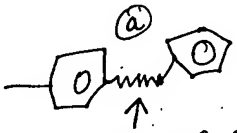
Office Rem 5C01  Mailbox 5C18

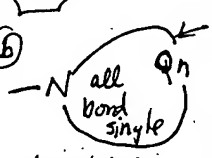
**Search Topic:**

Please write a detailed statement of search topic. Describe specifically as possible the subject matter to be searched. Define any terms that may have a special meaning. Give examples or relevent citations, authors, keywords, etc., if known. For sequences, please attach a copy of the sequence. You may include a copy of the broadest and/or most relevent claim(s).




$R_3$ =  or Hy, but exclude those choices a, b (that is, $R_3 \neq$ a or b)

(a) 

(b) 

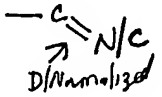

Linkage of 0-2 atoms of any kind between 2 rings

n = 3-8

Q = C/N/O/S

$R_1 = R_2$ = C (Rochain)

$R_4$ = Hy, but exclude any Hy with this structure




D/Normalized

Point of Contact:
Alexandra Waclawiw
Technical Info. Specialist
CM1 6A02 Tel: 308-4491

**STAFF USE ONLY**

Date completed: 1-10-05

Searcher: _____

Terminal time: _____

Elapsed time: _____

CPU time: _____

Total time: _____

Number of Searches: 12

Number of Databases: 19

**Search Site**

_____ STIC
_____ CM-1
_____ Pre-S

**Type of Search**

_____ N.A. Sequence
_____ A.A. Sequence
_____ Structure
_____ Bibliographic

**Vendors**

19

(1) STN IG 24600
_____ STN
_____ Dialog
_____ APS
_____ Geninfo
_____ SDC
_____ DARC/Questel
_____ Other

PTO-1560 (9-90)

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=>d his

     (FILE 'CAPLUS' ENTERED AT 07:38:33 ON 10 JAN 2005)
               DEL HIS Y

     FILE 'REGISTRY' ENTERED AT 07:38:47 ON 10 JAN 2005
               ACT BERCH777/A
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L1             STR
L2           57 SEA FILE=REGISTRY SSS FUL L1
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L3            7 S L2 NOT (CAPLUS OR CA OR USPATFULL)/LC

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L4            4 S L2
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USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2005 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:     7 JAN 2005  HIGHEST RN 810025-80-0
DICTIONARY FILE UPDATES:    7 JAN 2005  HIGHEST RN 810025-80-0

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

Crossover limits have been increased.  See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=> d que stat l2
L1                STR
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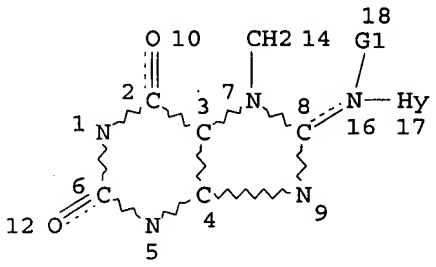


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NODE ATTRIBUTES:
CONNECT IS E3  RC AT   1
CONNECT IS E3  RC AT   5
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS  15

STEREO ATTRIBUTES: NONE
L2             57 SEA FILE=REGISTRY SSS FUL L1

100.0% PROCESSED  10930 ITERATIONS                    57 ANSWERS
SEARCH TIME: 00.00.01


=> d his l3
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L3 7 S L2 NOT (CAPLUS OR CA OR USPATFULL)/LC

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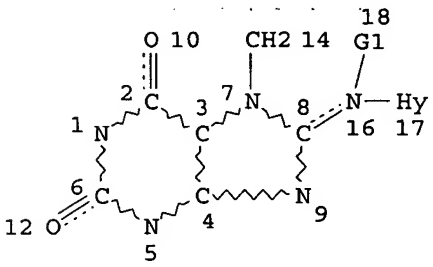


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DEFAULT ECLEVEL IS LIMITED

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RSPEC I
NUMBER OF NODES IS 15

STEREO ATTRIBUTES: NONE
L2 57 SEA FILE=REGISTRY SSS FUL L1
L3 7 SEA FILE=REGISTRY ABB=ON PLU=ON L2 NOT (CAPLUS OR CA OR USPATFULL)/LC

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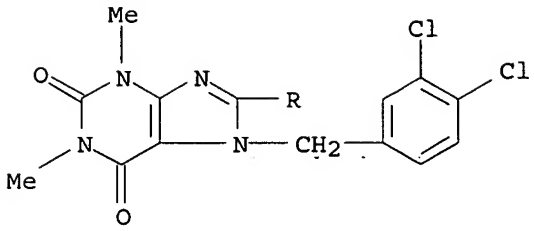
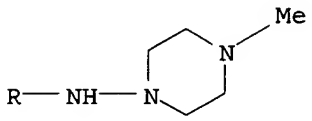
7 ANSWERS ARE AVAILABLE. SPECIFIED ANSWER NUMBER EXCEEDS ANSWER SET SIZE
ENTER ANSWER NUMBER OR RANGE (1):1-7

L3 ANSWER 1 OF 7 REGISTRY COPYRIGHT 2005 ACS on STN
RN 586987-63-5 REGISTRY
CN 1H-Purine-2,6-dione, 7-[(3,4-dichlorophenyl)methyl]-3,7-dihydro-1,3-dimethyl-8-[(4-methyl-1-piperazinyl)amino]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C19 H23 Cl2 N7 O2
SR Chemical Library
LC STN Files: CHEMCATS





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L3 ANSWER 2 OF 7 REGISTRY COPYRIGHT 2005 ACS on STN
RN 585561-13-3 REGISTRY
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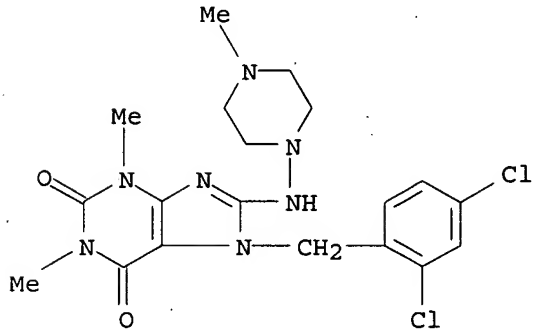
FS 3D CONCORD
MF C19 H23 Cl2 N7 O2
SR Chemical Library
LC STN Files: CHEMCATS



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L3 ANSWER 3 OF 7 REGISTRY COPYRIGHT 2005 ACS on STN
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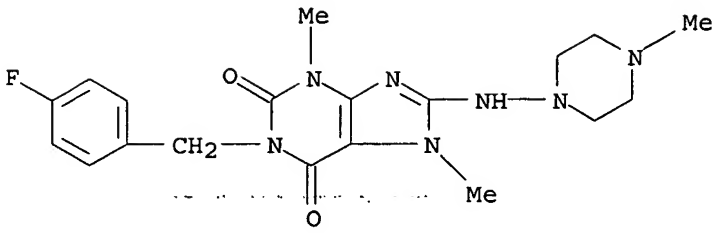
FS 3D CONCORD
MF C19 H24 F N7 O2
SR Chemical Library
LC STN Files: CHEMCATS



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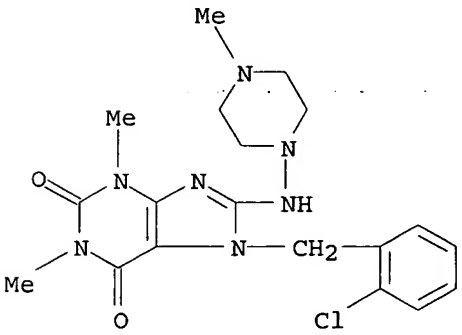
RN 578724-30-8 REGISTRY
CN 1H-Purine-2,6-dione, 7-[(2-chlorophenyl)methyl]-3,7-dihydro-1,3-dimethyl-8-[(4-methyl-1-piperazinyl)amino]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C19 H24 Cl N7 O2
SR Chemical Library
LC STN Files: CHEMCATS



**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L3 ANSWER 5 OF 7 REGISTRY COPYRIGHT 2005 ACS on STN
RN 577998-02-8 REGISTRY
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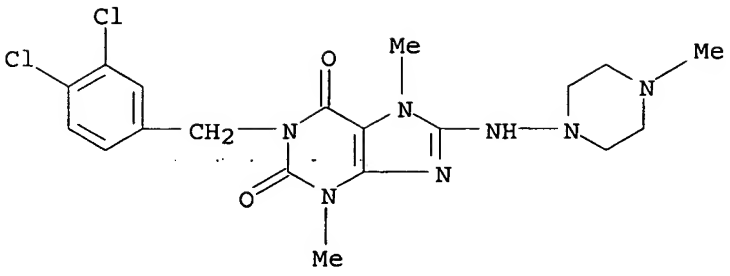
FS 3D CONCORD
MF C19 H23 Cl2 N7 O2
SR Chemical Library
LC STN Files: CHEMCATS



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L3 ANSWER 6 OF 7 REGISTRY COPYRIGHT 2005 ACS on STN
RN 577996-80-6 REGISTRY
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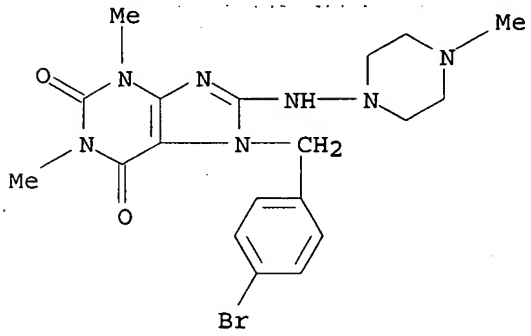
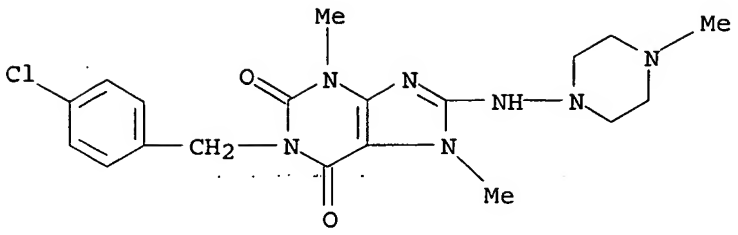
FS 3D CONCORD
MF C19 H24 Br N7 O2
SR Chemical Library
LC STN Files: CHEMCATS



**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L3 ANSWER 7 OF 7 REGISTRY COPYRIGHT 2005 ACS on STN
RN 573708-33-5 REGISTRY
CN 1H-Purine-2,6-dione, 1-[(4-chlorophenyl)methyl]-3,7-dihydro-3,7-dimethyl-8-[(4-methyl-1-piperazinyl)amino]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C19 H24 Cl N7 O2
SR Chemical Library
LC STN Files: CHEMCATS



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CA INDEXING COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)

=> d que nos l6
L1 STR
L2 57 SEA FILE=REGISTRY SSS FUL L1
L4 4 SEA FILE=CAPLUS ABB=ON PLU=ON L2
L5 3 SEA FILE=USPATFULL ABB=ON PLU=ON L2
L6 7 DUP REM L4 L5 (0 DUPLICATES REMOVED)

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L6 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:80509 CAPLUS
DOCUMENT NUMBER: 140:146154
TITLE: Preparation of purine derivatives as liver X receptor agonists
INVENTOR(S): Boggs, Sharon; Collins, Jon L.; Fivush, Adam; Stewart, Eugene Lee; Willson, Timothy Mark
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 271 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

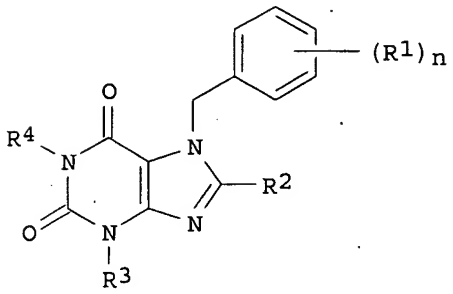
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2004009091 | A1 | 20040129 | WO 2003-US16016 | 20030520 |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,

KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2002-389689P P 20020717
OTHER SOURCE(S): MARPAT 140:146154
ED Entered STN: 01 Feb 2004

GI



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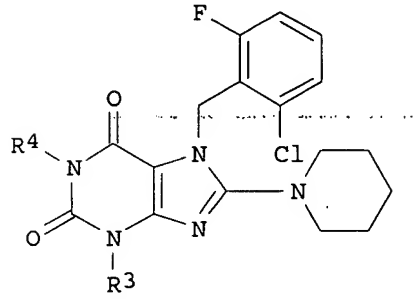

II

AB Title compds. I [wherein n = 1-5; R1 = independently halo, alkyl, or NO2; R2 = NR6R7, NR12(R8)aA, A, NR12(R8)aA(R8)bB, A(R8)bB, A(R8)bCOB, or ACONR12(R8)bB; A and B = independently (un)substituted cycloalkyl, cycloalkenyl, (hetero)aryl, or heterocyclyl; a and b = independently 0 or 1; R3 and R4 = independently H, (cyclo)alkyl, (cyclo)alkenyl, alkynyl, (hetero)aryl, heterocyclyl, R8-cycloalkyl, R8-(hetero)aryl, R8-heterocyclyl, R8CO2R9, R8CONR9R10, R8OR9, R8SR9, or R8O-aryl; R6 and R7 = independently H, alkyl, alkenyl, alkynyl, R8OR9, R8SR9, R8NR9R10, R8CN, or R8CO2R9; R8 = alkylene or alkenylene; R9, R10, and R12 = independently H, alkyl, alkenyl, or alkynyl; and pharmaceutically acceptable salts or solvates thereof] were prepared as liver X receptor (LXR) agonists. For example, Me 4-amino-1-(2-chloro-6-fluorobenzyl)-2-(piperidin-1-yl)-1H-imidazole-5-carboxylate (2-step preparation given) was condensed with Ph isocyanate in xylenes to give the urea (80%), which was cyclized (59%) by heating to 80° with NaOMe in MeOH for 1 h. Alkylation with MeI in DMF and work up afforded II (R3 = Me; R4 = Ph) in 76% yield. The related purine II (R3 and R4 = Et), prepared according to the same procedure in 73% yield, displayed activity against human LXRα and LXRβ with pEC5 values of 5.9 and 6.7, resp. Thus, I and their pharmaceutical compns. are useful for the treatment or prevention of LXR mediated diseases or conditions, including cardiovascular disease and atherosclerosis (no data).

IC ICM A61K031-52

ICS C07D273-04; C07D473-06; A61P009-00
CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 63
IT 305865-20-7P 309937-15-3P 309937-25-5P 309937-41-5P 309937-69-7P
309937-99-3P 309938-02-1P 317842-06-1P 326919-17-9P 332116-01-5P
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652164-77-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(LXR agonist; preparation of purine derivs. as liver X receptor agonists for treatment of cardiovascular disease, atherosclerosis, and other LXR mediated conditions)
IT 652164-78-8P 652164-79-9P **652164-80-2P** 652164-81-3P
652164-82-4P 652164-83-5P 652164-84-6P 652164-85-7P 652164-86-8P

652164-87-9P 652164-88-0P 652164-89-1P 652164-90-4P 652164-91-5P
652164-92-6P 652164-93-7P 652164-94-8P 652164-95-9P 652164-96-0P
652164-97-1P 652164-98-2P 652164-99-3P 652165-00-9P 652165-01-0P
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**652165-07-6P** 652165-08-7P 652165-09-8P 652165-10-1P
652165-11-2P **652165-12-3P** 652165-13-4P 652165-14-5P
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652165-20-3P 652165-21-4P 652165-22-5P 652165-23-6P 652165-24-7P
652165-25-8P 652165-26-9P 652165-27-0P **652165-28-1P**
652165-29-2P 652165-30-5P 652165-31-6P 652165-32-7P 652165-33-8P
652165-34-9P 652165-35-0P 652165-36-1P 652165-37-2P 652165-38-3P
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652165-54-3P 652165-55-4P 652165-56-5P 652165-57-6P 652165-58-7P
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652166-65-9P **652166-66-0P** 652166-67-1P 652166-68-2P
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652166-74-0P 652166-75-1P 652166-76-2P 652166-77-3P 652166-78-4P
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652167-04-9P 652167-05-0P 652167-06-1P 652167-07-2P 652167-08-3P
652167-09-4P 652167-10-7P 652167-11-8P 652167-12-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(LXR agonist; preparation of purine derivs. as liver X receptor agonists for treatment of cardiovascular disease, atherosclerosis, and other LXR mediated conditions)

IT 652167-13-0P 652167-14-1P 652167-15-2P 652167-16-3P 652167-17-4P
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652167-23-2P 652167-24-3P 652167-25-4P 652167-26-5P 652167-27-6P
652167-28-7P 652167-29-8P 652167-30-1P 652167-31-2P 652167-32-3P
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652167-38-9P 652167-39-0P 652167-40-3P 652167-41-4P 652167-42-5P

652167-43-6P 652167-44-7P 652167-45-8P 652167-46-9P 652167-47-0P
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652167-53-8P 652167-54-9P 652167-55-0P 652167-56-1P 652167-57-2P
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652168-16-6P 652168-17-7P 652168-18-8P 652168-19-9P 652168-20-2P
652168-21-3P **652168-22-4P** **652168-23-5P** 652168-24-6P
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**652168-77-9P** 652168-78-0P 652168-79-1P 652168-80-4P
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652169-05-6P **652169-06-7P** **652169-07-8P** 652169-08-9P
652169-09-0P 652169-10-3P 652169-11-4P 652169-12-5P 652169-13-6P
652169-14-7P 652975-75-2P 652975-76-3P

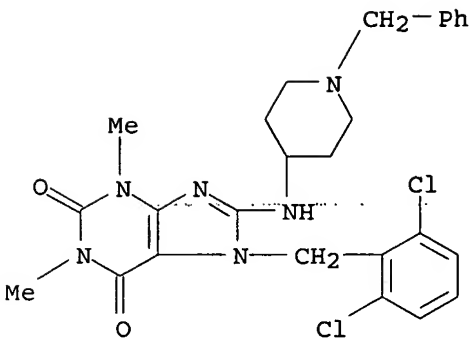
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(LXR agonist; preparation of purine derivs. as liver X receptor agonists for treatment of cardiovascular disease, atherosclerosis, and other LXR mediated conditions)

IT **652164-28-8P** **652164-30-2P** **652164-31-3P**
**652164-36-8P** **652164-68-6P** **652164-80-2P**
**652165-07-6P** **652165-12-3P** **652165-28-1P**
**652165-73-6P** **652165-77-0P** **652166-08-0P**
**652166-13-7P** **652166-30-8P** **652166-42-2P**
**652166-51-3P** **652166-66-0P** **652168-03-1P**
**652168-10-0P** **652168-22-4P** **652168-23-5P**
**652168-47-3P** **652168-77-9P** **652168-89-3P**
**652169-06-7P** **652169-07-8P**

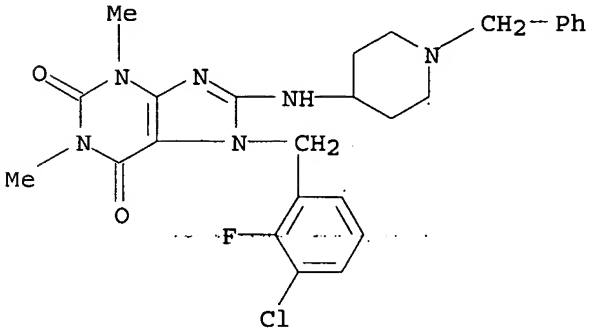
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(LXR agonist; preparation of purine derivs. as liver X receptor agonists for treatment of cardiovascular disease, atherosclerosis, and other LXR mediated conditions)

RN 652164-28-8 CAPLUS

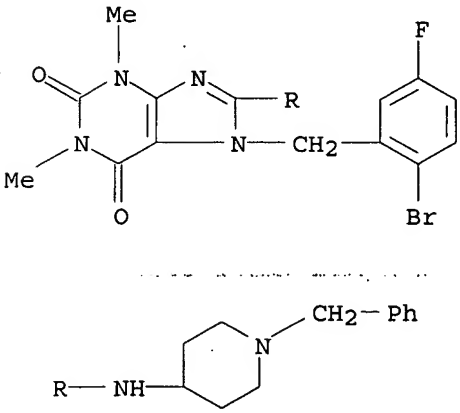
CN 1H-Purine-2,6-dione, 7-[(2,6-dichlorophenyl)methyl]-3,7-dihydro-1,3-dimethyl-8-[[1-(phenylmethyl)-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)



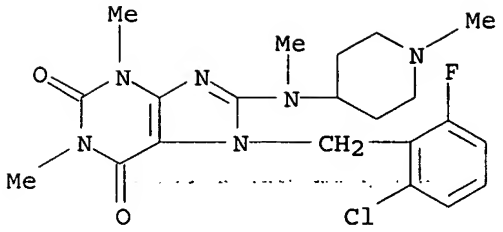
RN 652164-30-2 CAPLUS
CN 1H-Purine-2,6-dione, 7-[(3-chloro-2-fluorophenyl)methyl]-3,7-dihydro-1,3-dimethyl-8-[[1-(phenylmethyl)-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)



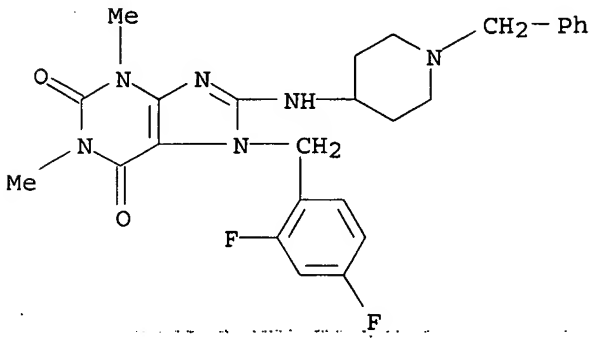
RN 652164-31-3 CAPLUS
CN 1H-Purine-2,6-dione, 7-[(2-bromo-5-fluorophenyl)methyl]-3,7-dihydro-1,3-dimethyl-8-[[1-(phenylmethyl)-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)



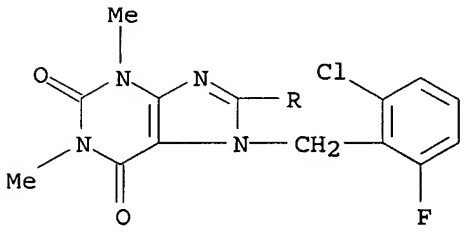
RN 652164-36-8 CAPLUS
CN 1H-Purine-2,6-dione, 7-[(2-chloro-6-fluorophenyl)methyl]-3,7-dihydro-1,3-dimethyl-8-[methyl(1-methyl-4-piperidinyl)amino]- (9CI) (CA INDEX NAME)

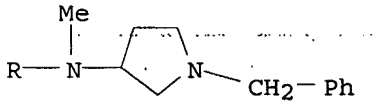


RN 652164-68-6 CAPLUS
CN 1H-Purine-2,6-dione, 7-[(2,4-difluorophenyl)methyl]-3,7-dihydro-1,3-dimethyl-8-[[1-(phenylmethyl)-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)

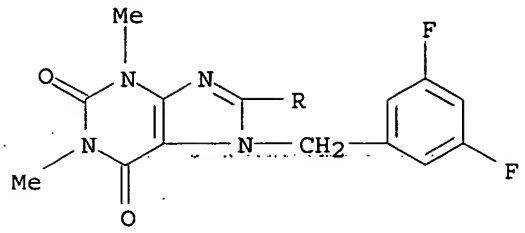


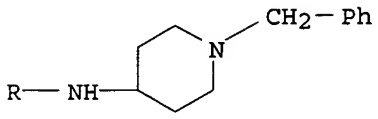
RN 652164-80-2 CAPLUS
CN 1H-Purine-2,6-dione, 7-[(2-chloro-6-fluorophenyl)methyl]-3,7-dihydro-1,3-dimethyl-8-[methyl[1-(phenylmethyl)-3-pyrrolidinyl]amino]- (9CI) (CA INDEX NAME)



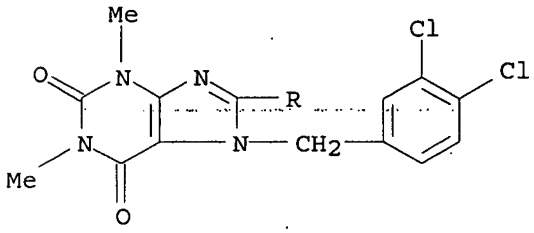


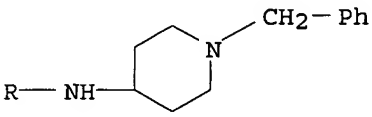
RN 652165-07-6 CAPLUS
CN 1H-Purine-2,6-dione, 7-[(3,5-difluorophenyl)methyl]-3,7-dihydro-1,3-dimethyl-8-[[1-(phenylmethyl)-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)



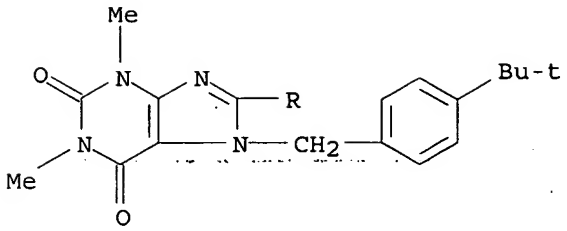


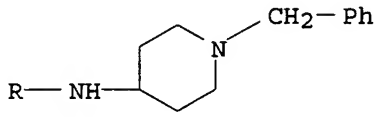
RN 652165-12-3 CAPLUS
CN 1H-Purine-2,6-dione, 7-[(3,4-dichlorophenyl)methyl]-3,7-dihydro-1,3-dimethyl-8-[[1-(phenylmethyl)-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)



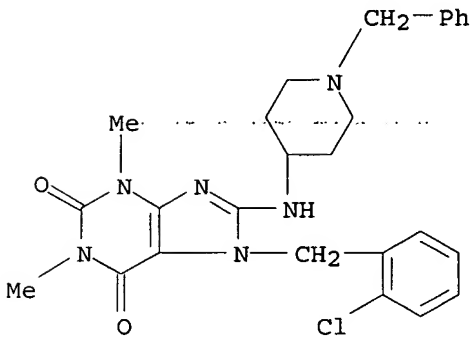


RN 652165-28-1 CAPLUS
CN 1H-Purine-2,6-dione, 7-[[4-(1,1-dimethylethyl)phenyl]methyl]-3,7-dihydro-1,3-dimethyl-8-[[1-(phenylmethyl)-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)

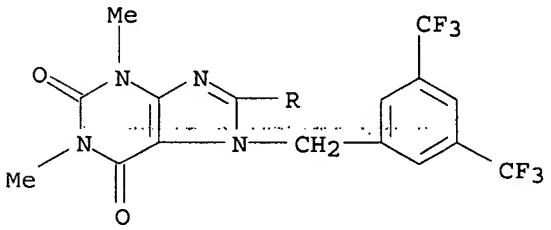


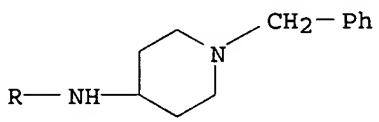


RN 652165-73-6 CAPLUS
CN 1H-Purine-2,6-dione, 7-[(2-chlorophenyl)methyl]-3,7-dihydro-1,3-dimethyl-8-[[1-(phenylmethyl)-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)

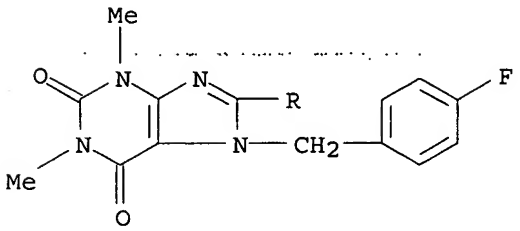


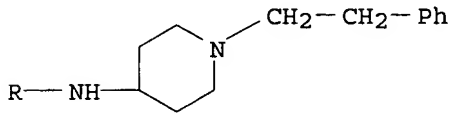
RN 652165-77-0 CAPLUS
CN 1H-Purine-2,6-dione, 7-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3,7-dihydro-1,3-dimethyl-8-[[1-(phenylmethyl)-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)



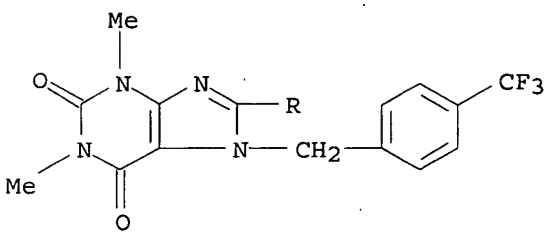


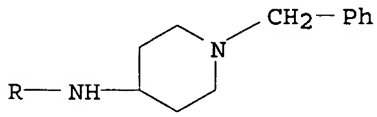
RN 652166-08-0 CAPLUS
CN 1H-Purine-2,6-dione, 7-[(4-fluorophenyl)methyl]-3,7-dihydro-1,3-dimethyl-8-[[1-(2-phenylethyl)-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)



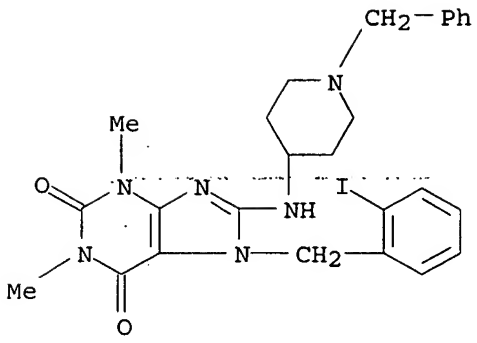


RN 652166-13-7 CAPLUS
CN 1H-Purine-2,6-dione, 3,7-dihydro-1,3-dimethyl-8-[[1-(phenylmethyl)-4-piperidinyl]amino]-7-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

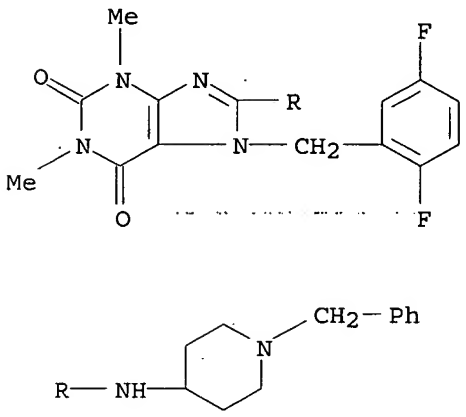




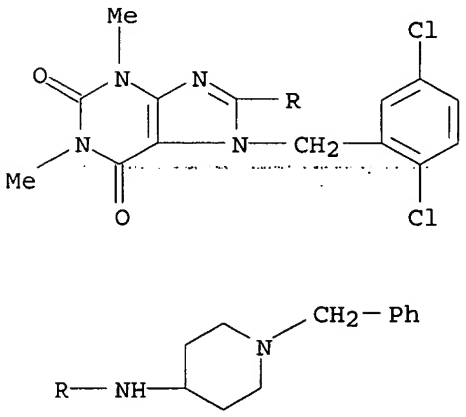
RN 652166-30-8 CAPLUS
CN 1H-Purine-2,6-dione, 3,7-dihydro-7-[(2-iodophenyl)methyl]-1,3-dimethyl-8-[[1-(phenylmethyl)-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)



RN 652166-42-2 CAPLUS
CN 1H-Purine-2,6-dione, 7-[(2,5-difluorophenyl)methyl]-3,7-dihydro-1,3-dimethyl-8-[[1-(phenylmethyl)-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)

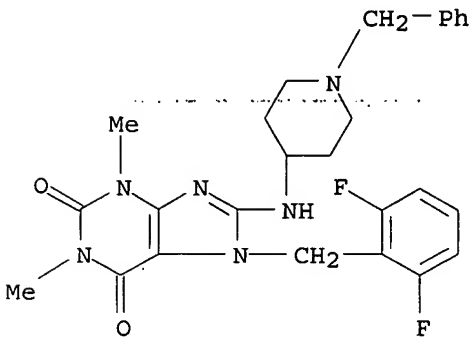


RN 652166-51-3 CAPLUS
CN 1H-Purine-2,6-dione, 7-[(2,5-dichlorophenyl)methyl]-3,7-dihydro-1,3-dimethyl-8-[[1-(phenylmethyl)-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)

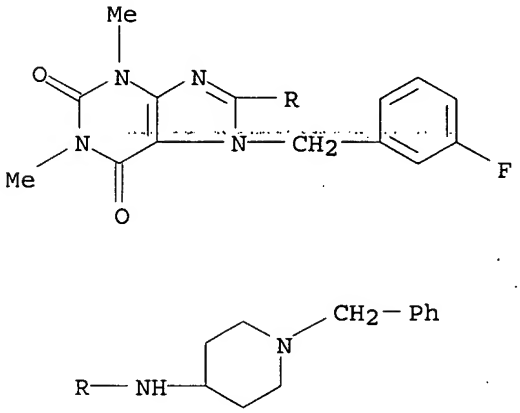


RN 652166-66-0 CAPLUS

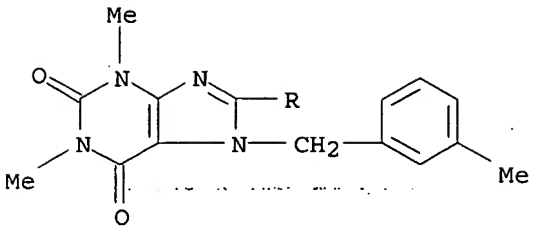
CN 1H-Purine-2,6-dione, 7-[(2,6-difluorophenyl)methyl]-3,7-dihydro-1,3-dimethyl-8-[[1-(phenylmethyl)-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)

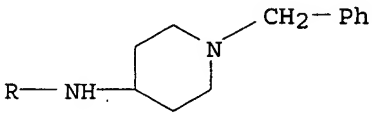


RN 652168-03-1 CAPLUS
CN 1H-Purine-2,6-dione, 7-[(3-fluorophenyl)methyl]-3,7-dihydro-1,3-dimethyl-8-[[1-(phenylmethyl)-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)

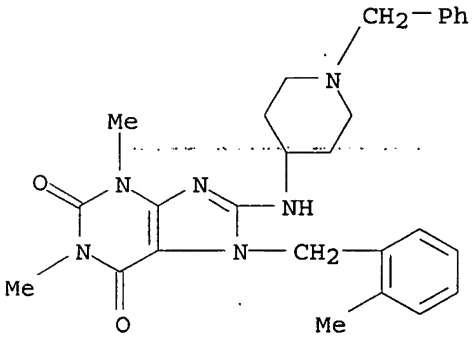


RN 652168-10-0 CAPLUS
CN 1H-Purine-2,6-dione, 3,7-dihydro-1,3-dimethyl-7-[(3-methylphenyl)methyl]-8-[[1-(phenylmethyl)-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)

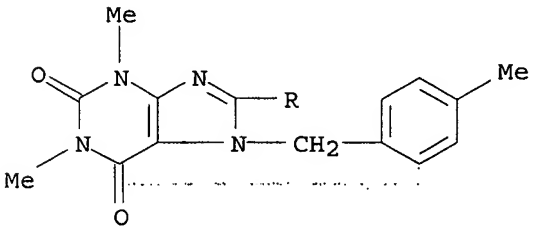


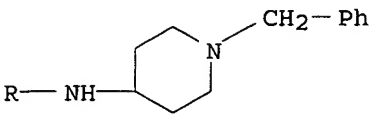


RN 652168-22-4 CAPLUS
CN 1H-Purine-2,6-dione, 3,7-dihydro-1,3-dimethyl-7-[(2-methylphenyl)methyl]-8-[[1-(phenylmethyl)-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)



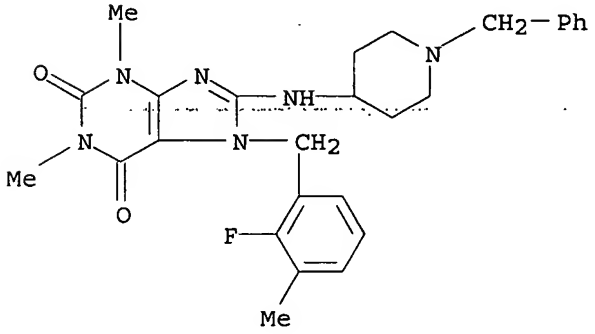
RN 652168-23-5 CAPLUS
CN 1H-Purine-2,6-dione, 3,7-dihydro-1,3-dimethyl-7-[(4-methylphenyl)methyl]-8-[[1-(phenylmethyl)-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)



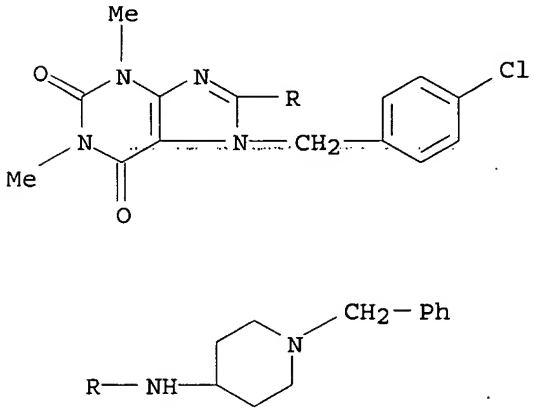


RN 652168-47-3 CAPLUS

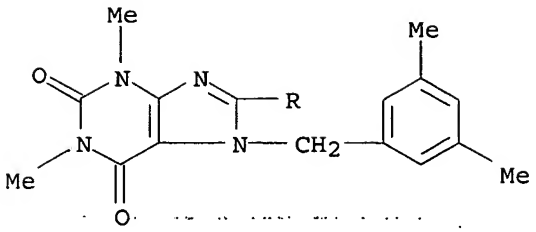
CN 1H-Purine-2,6-dione, 7-[(2-fluoro-3-methylphenyl)methyl]-3,7-dihydro-1,3-dimethyl-8-[[1-(phenylmethyl)-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)

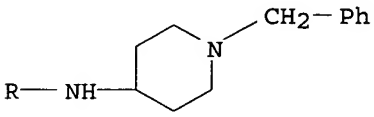


RN 652168-77-9 CAPLUS
CN 1H-Purine-2,6-dione, 7-[(4-chlorophenyl)methyl]-3,7-dihydro-1,3-dimethyl-8-[[1-(phenylmethyl)-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)

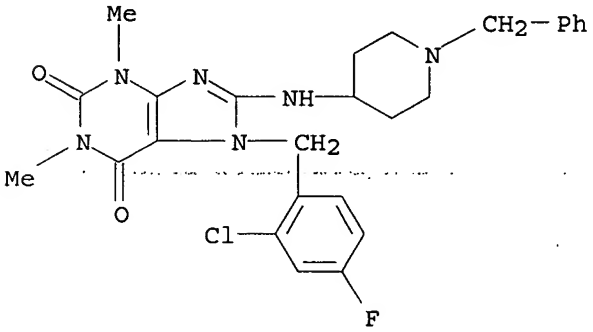


RN 652168-89-3 CAPLUS
CN 1H-Purine-2,6-dione, 7-[(3,5-dimethylphenyl)methyl]-3,7-dihydro-1,3-dimethyl-8-[[1-(phenylmethyl)-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)

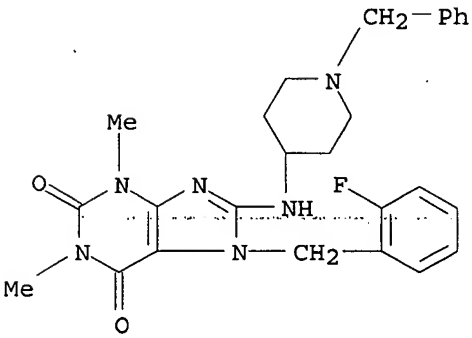




RN 652169-06-7 CAPLUS
CN 1H-Purine-2,6-dione, 7-[(2-chloro-4-fluorophenyl)methyl]-3,7-dihydro-1,3-dimethyl-8-[[1-(phenylmethyl)-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)



RN 652169-07-8 CAPLUS
CN 1H-Purine-2,6-dione, 7-[(2-fluorophenyl)methyl]-3,7-dihydro-1,3-dimethyl-8-[[1-(phenylmethyl)-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 7 USPATFULL on STN

ACCESSION NUMBER: 2004:292796 USPATFULL
TITLE: Xanthine phosphodiesterase V inhibitors
INVENTOR(S): Chackalamannil, Samuel, Califon, NJ, UNITED STATES
Wang, Yuguang, North Brunswick, NJ, UNITED STATES
Boyle, Craig D., Branchburg, NJ, UNITED STATES
Stamford, Andrew W., Chatham Township, NJ, UNITED STATES
PATENT ASSIGNEE(S): SCHERING CORPORATION (U.S. corporation)

| | NUMBER | KIND | DATE |
|---|---|---|---|
| PATENT INFORMATION: | US 2004229885 | A1 | 20041118 |
| APPLICATION INFO.: | US 2004-864218 | A1 | 20040609 (10) |

RELATED APPLN. INFO.: Continuation of Ser. No. US 2001-940760, filed on 28 Aug 2001, PENDING

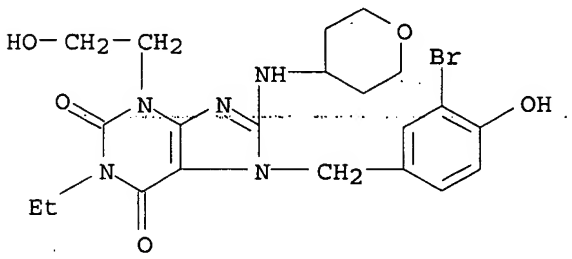
| | NUMBER | DATE |
|---|---|---|
| PRIORITY INFORMATION: | US 2000-233567P | 20000919 (60) |

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: SCHERING-PLOUGH CORPORATION, PATENT DEPARTMENT (K-6-1, 1990), 2000 GALLOPING HILL ROAD, KENILWORTH, NJ, 07033-0530
NUMBER OF CLAIMS: 40
EXEMPLARY CLAIM: 1
LINE COUNT: 2144
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

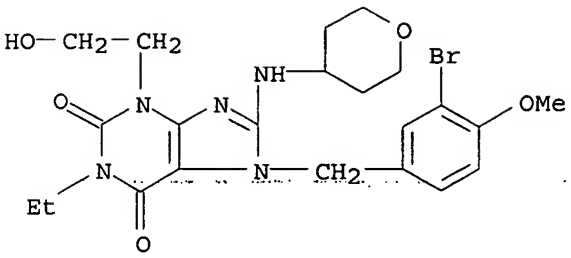
AB A xanthine phosphodiesterase V inhibitor having the formula (I), with the variables defined herein, which is especially useful for treating male (erectile) and female sexual dysfunction and other physiological disorders: ##STR1##

For example, a representative compound of the invention is: ##STR2##

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **405214-54-2P 405214-64-4P**
(preparation of arylmethyl-1H-purine-2,6-diones as xanthine phosphodiesterase V inhibitors)
RN 405214-54-2 USPATFULL
CN 1H-Purine-2,6-dione, 7-[(3-bromo-4-hydroxyphenyl)methyl]-1-ethyl-3,7-dihydro-3-(2-hydroxyethyl)-8-[(tetrahydro-2H-pyran-4-yl)amino]- (9CI) (CA INDEX NAME)



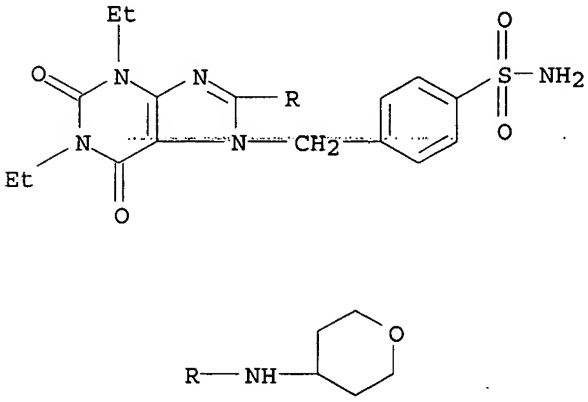

RN 405214-64-4 USPATFULL
CN 1H-Purine-2,6-dione, 7-[(3-bromo-4-methoxyphenyl)methyl]-1-ethyl-3,7-dihydro-3-(2-hydroxyethyl)-8-[(tetrahydro-2H-pyran-4-yl)amino]- (9CI) (CA INDEX NAME)



IT **405215-37-4P 405215-38-5P 405215-39-6P**
**405215-40-9P 405215-41-0P 405215-42-1P**
**405215-43-2P 405215-44-3P 405215-45-4P**
**405215-46-5P 405215-47-6P**
(xanthine phosphodiesterase v inhibitors)

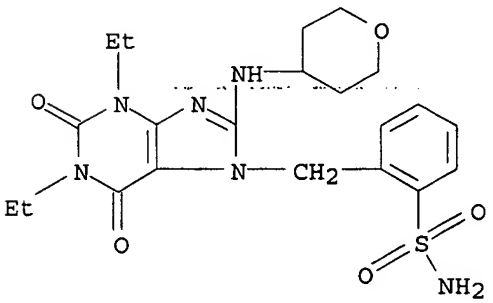
RN 405215-37-4 USPATFULL

CN Benzenesulfonamide, 4-[[1,3-diethyl-1,2,3,6-tetrahydro-2,6-dioxo-8-[(tetrahydro-2H-pyran-4-yl)amino]-7H-purin-7-yl]methyl]- (9CI) (CA INDEX NAME)



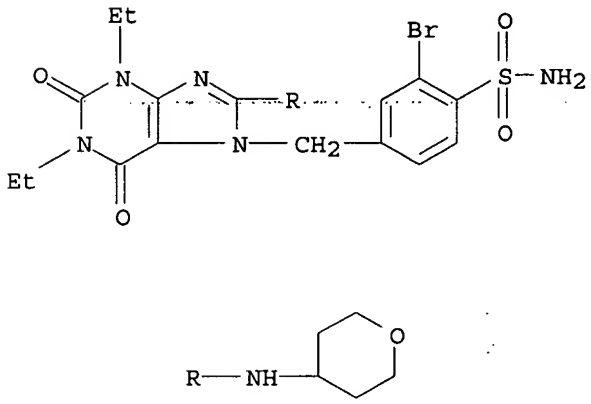
RN 405215-38-5 USPATFULL

CN Benzenesulfonamide, 2-[[1,3-diethyl-1,2,3,6-tetrahydro-2,6-dioxo-8-[(tetrahydro-2H-pyran-4-yl)amino]-7H-purin-7-yl]methyl]- (9CI) (CA INDEX NAME)



RN 405215-39-6 USPATFULL

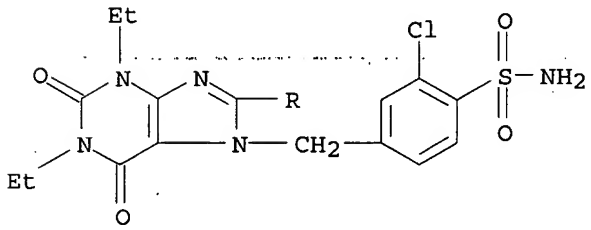
CN Benzenesulfonamide, 2-bromo-4-[[1,3-diethyl-1,2,3,6-tetrahydro-2,6-dioxo-8-[(tetrahydro-2H-pyran-4-yl)amino]-7H-purin-7-yl]methyl]- (9CI) (CA INDEX NAME)

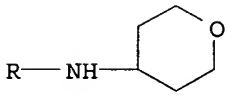




RN 405215-40-9 USPATFULL

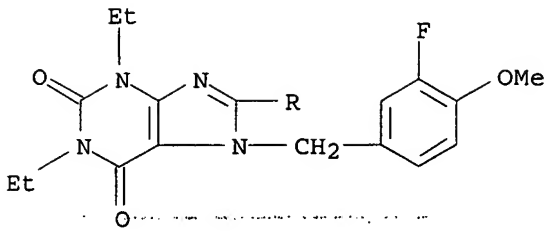
CN Benzenesulfonamide, 2-chloro-4-[[1,3-diethyl-1,2,3,6-tetrahydro-2,6-dioxo-8-[(tetrahydro-2H-pyran-4-yl)amino]-7H-purin-7-yl]methyl]- (9CI) (CA INDEX NAME)

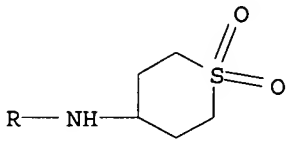




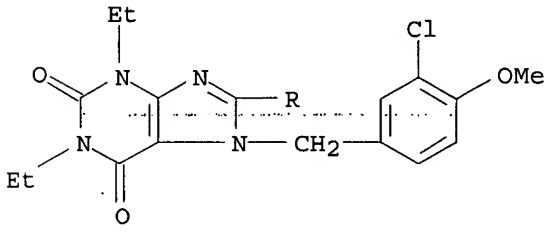
RN 405215-41-0 USPATFULL

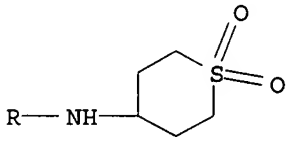
CN 1H-Purine-2,6-dione, 1,3-diethyl-7-[(3-fluoro-4-methoxyphenyl)methyl]-3,7-dihydro-8-[(tetrahydro-1,1-dioxido-2H-thiopyran-4-yl)amino]- (9CI) (CA INDEX NAME)



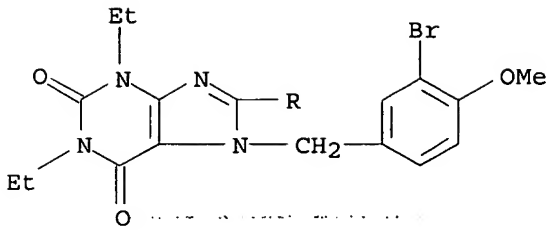


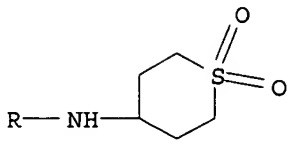
RN 405215-42-1 USPATFULL
CN 1H-Purine-2,6-dione, 7-[(3-chloro-4-methoxyphenyl)methyl]-1,3-diethyl-3,7-dihydro-8-[(tetrahydro-1,1-dioxido-2H-thiopyran-4-yl)amino]- (9CI) (CA INDEX NAME)



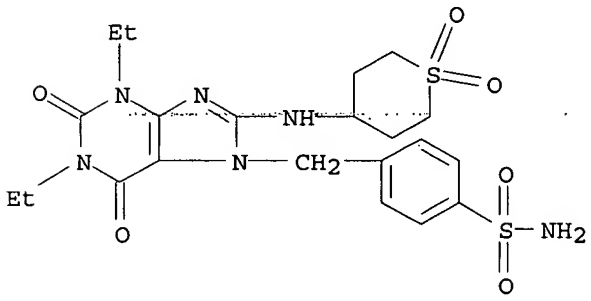


RN 405215-43-2 USPATFULL
CN 1H-Purine-2,6-dione, 7-[(3-bromo-4-methoxyphenyl)methyl]-1,3-diethyl-3,7-dihydro-8-[(tetrahydro-1,1-dioxido-2H-thiopyran-4-yl)amino]- (9CI) (CA INDEX NAME)

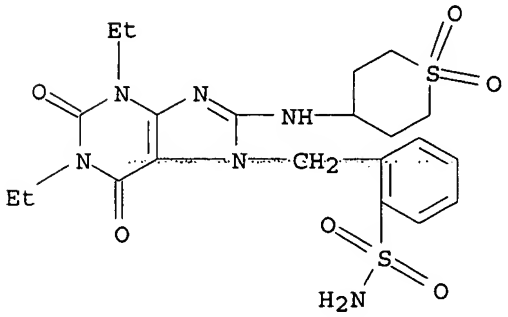




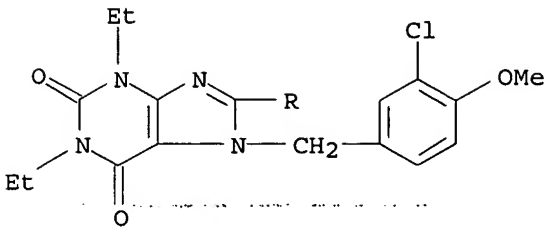
RN 405215-44-3 USPATFULL
CN Benzenesulfonamide, 4-[[1,3-diethyl-1,2,3,6-tetrahydro-2,6-dioxo-8-[(tetrahydro-1,1-dioxido-2H-thiopyran-4-yl)amino]-7H-purin-7-yl]methyl]- (9CI) (CA INDEX NAME)

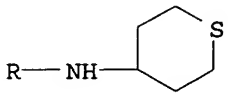


RN 405215-45-4 USPATFULL
CN Benzenesulfonamide, 2-[[1,3-diethyl-1,2,3,6-tetrahydro-2,6-dioxo-8-[(tetrahydro-1,1-dioxido-2H-thiopyran-4-yl)amino]-7H-purin-7-yl]methyl]- (9CI) (CA INDEX NAME)

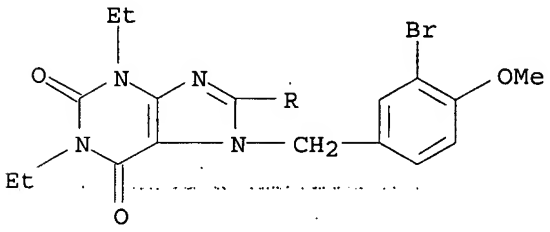


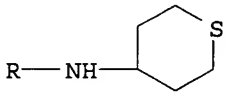
RN 405215-46-5 USPATFULL
CN 1H-Purine-2,6-dione, 7-[(3-chloro-4-methoxyphenyl)methyl]-1,3-diethyl-3,7-dihydro-8-[(tetrahydro-2H-thiopyran-4-yl)amino]- (9CI) (CA INDEX NAME)





RN 405215-47-6 USPATFULL
CN 1H-Purine-2,6-dione, 7-[(3-bromo-4-methoxyphenyl)methyl]-1,3-diethyl-3,7-dihydro-8-[(tetrahydro-2H-thiopyran-4-yl)amino]- (9CI) (CA INDEX NAME)





L6 ANSWER 3 OF 7 USPATFULL on STN

| | |
|---|---|
| ACCESSION NUMBER: | 2004:216028 USPATFULL |
| TITLE: | Xanthine phosphodiesterase V inhibitors |
| INVENTOR(S): | Chackalamannil, Samuel, Califon, NJ, UNITED STATES<br>Wang, Yuguang, North Brunswick, NJ, UNITED STATES<br>Boyle, Craig D., Branchburg, NJ, UNITED STATES<br>Stamford, Andrew W., Chatham Township, NJ, UNITED STATES |

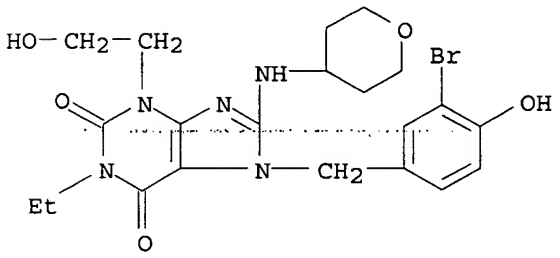
| | NUMBER | KIND | DATE |
|---|---|---|---|
| PATENT INFORMATION: | US 2004167137 | A1 | 20040826 |
| APPLICATION INFO.: | US 2004-777849 | A1 | 20040212 (10) |
| RELATED APPLN. INFO.: | Division of Ser. No. US 2001-940760, filed on 28 Aug 2001, PENDING | | |

| | NUMBER | DATE |
|---|---|---|
| PRIORITY INFORMATION: | US 2000-233567P | 20000919 (60) |
| DOCUMENT TYPE: | Utility | |

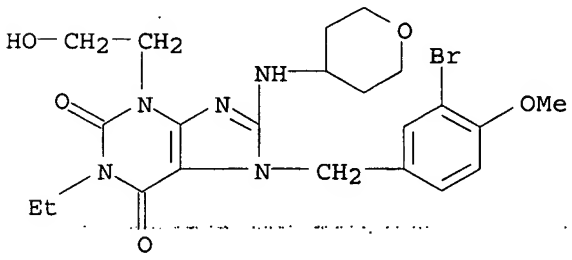
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: SCHERING-PLOUGH CORPORATION, PATENT DEPARTMENT (K-6-1, 1990), 2000 GALLOPING HILL ROAD, KENILWORTH, NJ, 07033-0530
NUMBER OF CLAIMS: 40
EXEMPLARY CLAIM: 1
LINE COUNT: 2139
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB A xanthine phosphodiesterase V inhibitor having the formula (I), with the variables defined herein, which is especially useful for treating male (erectile) and female sexual dysfunction and other physiological disorders: ##STR1##

For example, a representative compound of the invention is: ##STR2##

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **405214-54-2P 405214-64-4P**
(preparation of arylmethyl-1H-purine-2,6-diones as xanthine phosphodiesterase V inhibitors)
RN 405214-54-2 USPATFULL
CN 1H-Purine-2,6-dione, 7-[(3-bromo-4-hydroxyphenyl)methyl]-1-ethyl-3,7-dihydro-3-(2-hydroxyethyl)-8-[(tetrahydro-2H-pyran-4-yl)amino]- (9CI) (CA INDEX NAME)



RN 405214-64-4 USPATFULL
CN 1H-Purine-2,6-dione, 7-[(3-bromo-4-methoxyphenyl)methyl]-1-ethyl-3,7-dihydro-3-(2-hydroxyethyl)-8-[(tetrahydro-2H-pyran-4-yl)amino]- (9CI) (CA INDEX NAME)



IT **405215-37-4P 405215-38-5P 405215-39-6P**
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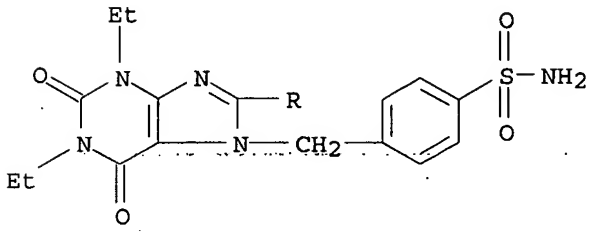
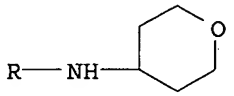
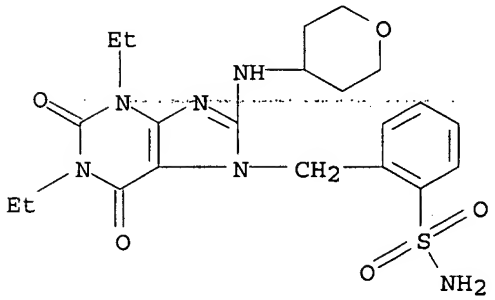
**405215-46-5P 405215-47-6P**
(xanthine phosphodiesterase v inhibitors)
RN 405215-37-4 USPATFULL
CN Benzenesulfonamide, 4-[[1,3-diethyl-1,2,3,6-tetrahydro-2,6-dioxo-8-

[(tetrahydro-2H-pyran-4-yl)amino]-7H-purin-7-yl]methyl]- (9CI) (CA INDEX NAME)





RN 405215-38-5 USPATFULL
CN Benzenesulfonamide, 2-[[1,3-diethyl-1,2,3,6-tetrahydro-2,6-dioxo-8-[(tetrahydro-2H-pyran-4-yl)amino]-7H-purin-7-yl]methyl]- (9CI) (CA INDEX NAME)



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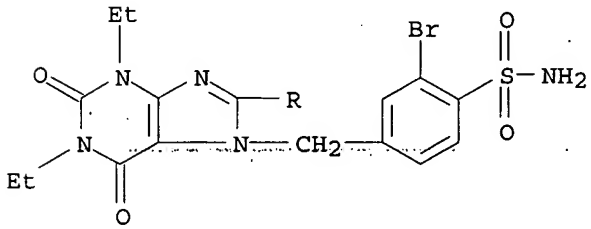
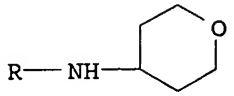
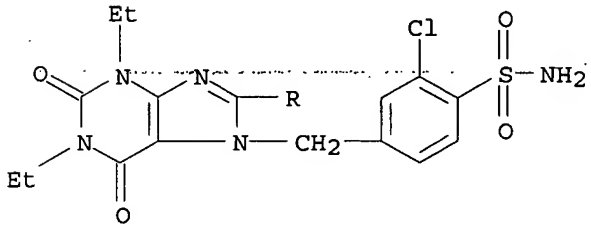
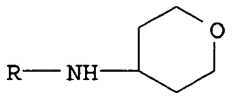
CN Benzenesulfonamide, 2-bromo-4-[[1,3-diethyl-1,2,3,6-tetrahydro-2,6-dioxo-8-[(tetrahydro-2H-pyran-4-yl)amino]-7H-purin-7-yl]methyl]- (9CI) (CA INDEX NAME)





RN 405215-40-9 USPATFULL
CN Benzenesulfonamide, 2-chloro-4-[[1,3-diethyl-1,2,3,6-tetrahydro-2,6-dioxo-8-[(tetrahydro-2H-pyran-4-yl)amino]-7H-purin-7-yl]methyl]- (9CI) (CA INDEX NAME)





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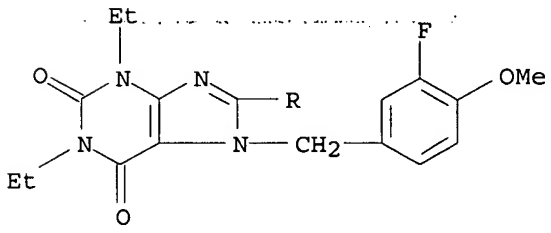
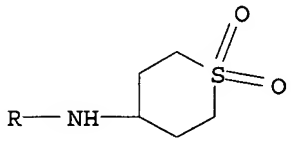
CN 1H-Purine-2,6-dione, 1,3-diethyl-7-[(3-fluoro-4-methoxyphenyl)methyl]-3,7-dihydro-8-[(tetrahydro-1,1-dioxido-2H-thiopyran-4-yl)amino]- (9CI) (CA INDEX NAME)





RN 405215-42-1 USPATFULL
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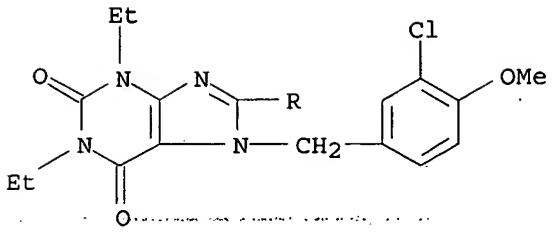
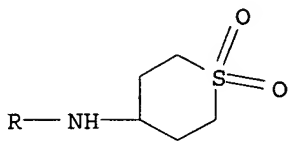
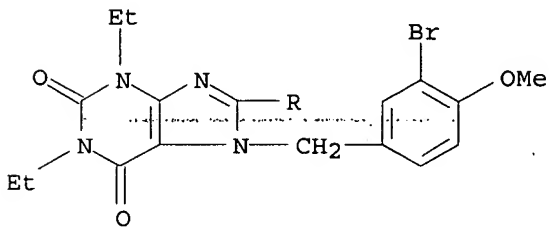
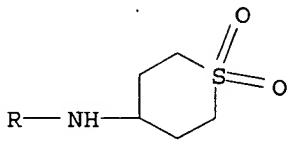


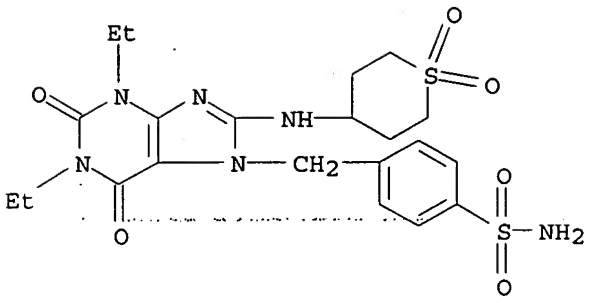


RN 405215-43-2 USPATFULL
CN 1H-Purine-2,6-dione, 7-[(3-bromo-4-methoxyphenyl)methyl]-1,3-diethyl-3,7-dihydro-8-[(tetrahydro-1,1-dioxido-2H-thiopyran-4-yl)amino]- (9CI) (CA INDEX NAME)





RN 405215-44-3 USPATFULL
CN Benzenesulfonamide, 4-[[1,3-diethyl-1,2,3,6-tetrahydro-2,6-dioxo-8-[(tetrahydro-1,1-dioxido-2H-thiopyran-4-yl)amino]-7H-purin-7-yl]methyl]- (9CI) (CA INDEX NAME)



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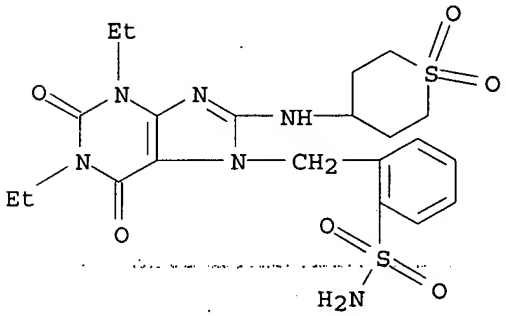
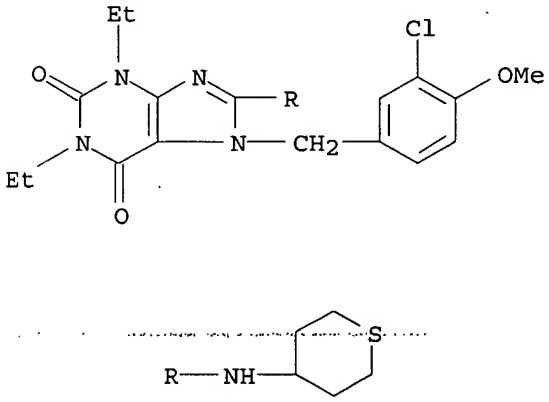
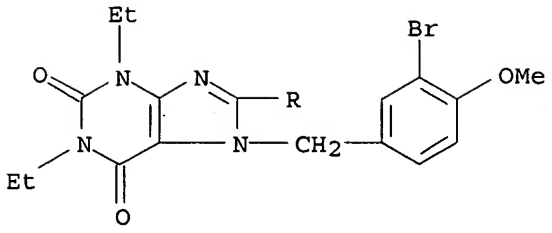
CN Benzenesulfonamide, 2-[[1,3-diethyl-1,2,3,6-tetrahydro-2,6-dioxo-8-[(tetrahydro-1,1-dioxido-2H-thiopyran-4-yl)amino]-7H-purin-7-yl]methyl]- (9CI) (CA INDEX NAME)

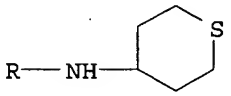


RN 405215-46-5 USPATFULL
CN 1H-Purine-2,6-dione, 7-[(3-chloro-4-methoxyphenyl)methyl]-1,3-diethyl-3,7-dihydro-8-[(tetrahydro-2H-thiopyran-4-yl)amino]- (9CI) (CA INDEX NAME)



RN 405215-47-6 USPATFULL
CN 1H-Purine-2,6-dione, 7-[(3-bromo-4-methoxyphenyl)methyl]-1,3-diethyl-3,7-dihydro-8-[(tetrahydro-2H-thiopyran-4-yl)amino]- (9CI) (CA INDEX NAME)





L6 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:676018 CAPLUS
DOCUMENT NUMBER: 137:216824
TITLE: Preparation of xanthine derivatives as dipeptidylpeptidase-IV inhibitors
INVENTOR(S): Himmelsbach, Frank; Mark, Michael; Eckhardt, Matthias; Langkopf, Elke; Maier, Roland; Lotz, Ralf
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany
SOURCE: PCT Int. Appl., 373 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2002068420 | A1 | 20020906 | WO 2002-EP1820 | 20020221 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| DE 10109021 | A1 | 20020905 | DE 2001-10109021 | 20010224 |
| DE 10117803 | A1 | 20021024 | DE 2001-10117803 | 20010410 |
| DE 10140345 | A1 | 20030227 | DE 2001-10140345 | 20010817 |
| DE 10203486 | A1 | 20030731 | DE 2002-10203486 | 20020130 |
| CA 2435730 | AA | 20020906 | CA 2002-2435730 | 20020221 |
| EP 1368349 | A1 | 20031210 | EP 2002-701288 | 20020221 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| EE 200300409 | A | 20031215 | EE 2003-409 | 20020221 |
| BR 2002007767 | A | 20040330 | BR 2002-7767 | 20020221 |
| JP 2004522786 | T2 | 20040729 | JP 2002-567932 | 20020221 |
| BG 108093 | A | 20040831 | BG 2003-108093 | 20030813 |
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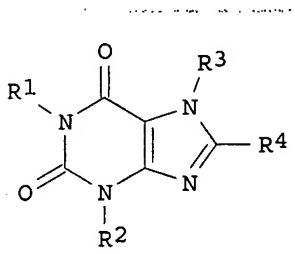
| US 2004077645 | A1 | 20040422 | US 2003-467961 | 20031205 |
| PRIORITY APPLN. INFO.: | | | DE 2001-10109021 A | 20010224 |

DE 2001-10117803 A 20010410
DE 2001-10140345 A 20010817
DE 2002-10203486 A 20020130
WO 2002-EP1820 W 20020221

OTHER SOURCE(S): MARPAT 137:216824
ED Entered STN: 08 Sep 2002
GI

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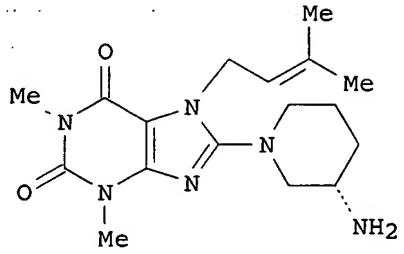


II

AB Xanthine derivs. of formula I [R1, R2 = H, alkyl, alkenyl, etc.; R3 = alkyl, arylalkyl, etc.; R4 = heterocyclyl, cycloalkyl, aminoalkyl, etc.] are prepared which exhibit an inhibitory effect on the activity of the dipeptidylpeptidase-IV enzyme. Pharmaceutical compns. containing I are described. Thus, II was prepared and had an IC50 of 22 nM against dipeptidylpeptidase-IV.

IC ICM C07D473-04
ICS A61P005-00

CC 26-9 (Biomolecules and Their Synthetic Analogs)
Section cross-reference(s): 1, 63

IT 389060-12-2P 389060-14-4P 454451-31-1P 454451-32-2P 454451-33-3P
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of xanthine derivs. as dipeptidylpeptidase-IV inhibitors)

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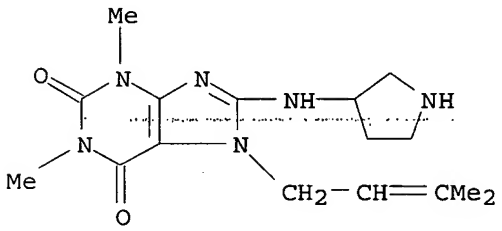
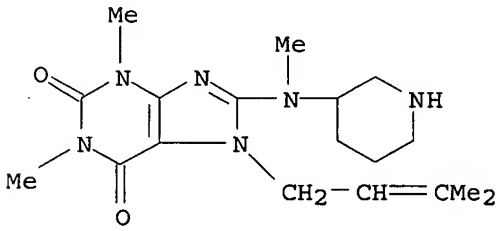
454710-98-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of xanthine derivs. as dipeptidylpeptidase-IV inhibitors)

IT **454707-22-3P 454707-23-4P 454707-30-3P**
**454707-31-4P 454707-35-8P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of xanthine derivs. as dipeptidylpeptidase-IV inhibitors)

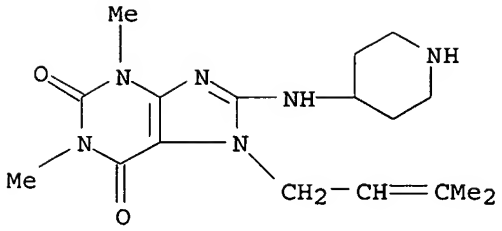
RN 454707-22-3 CAPLUS
CN 1H-Purine-2,6-dione, 3,7-dihydro-1,3-dimethyl-7-(3-methyl-2-butenyl)-8-(3-pyrrolidinylamino)- (9CI) (CA INDEX NAME)



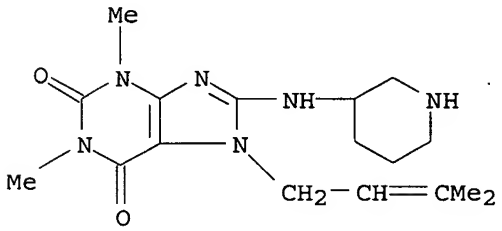
RN 454707-23-4 CAPLUS
CN 1H-Purine-2,6-dione, 3,7-dihydro-1,3-dimethyl-7-(3-methyl-2-butenyl)-8-(methyl-3-piperidinylamino)- (9CI) (CA INDEX NAME)



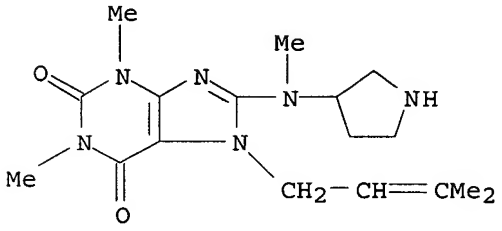
RN 454707-30-3 CAPLUS
CN 1H-Purine-2,6-dione, 3,7-dihydro-1,3-dimethyl-7-(3-methyl-2-butenyl)-8-(4-piperidinylamino)- (9CI) (CA INDEX NAME)



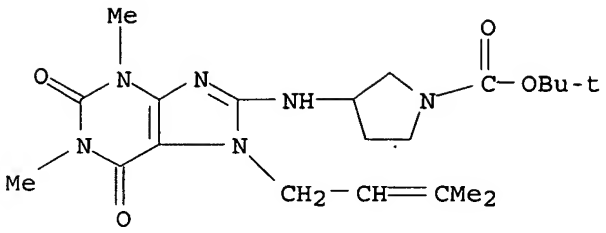
RN 454707-31-4 CAPLUS
CN 1H-Purine-2,6-dione, 3,7-dihydro-1,3-dimethyl-7-(3-methyl-2-butenyl)-8-(3-piperidinylamino)- (9CI) (CA INDEX NAME)



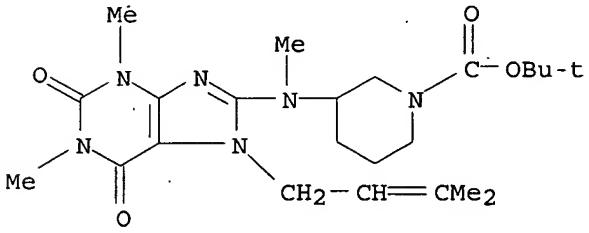
RN 454707-35-8 CAPLUS
CN 1H-Purine-2,6-dione, 3,7-dihydro-1,3-dimethyl-7-(3-methyl-2-butenyl)-8-(methyl-3-pyrrolidinylamino)- (9CI) (CA INDEX NAME)



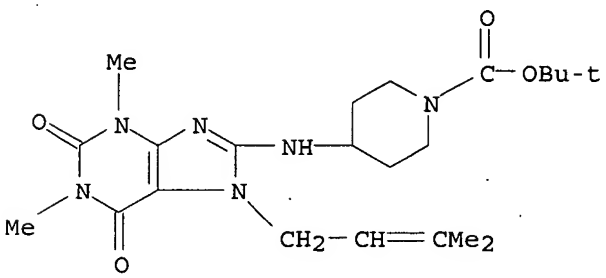
IT **454709-31-0P 454709-32-1P 454709-34-3P**
**454709-35-4P 454709-37-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of xanthine derivs. as dipeptidylpeptidase-IV inhibitors)
RN 454709-31-0 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 3-[[2,3,6,7-tetrahydro-1,3-dimethyl-7-(3-methyl-2-butenyl)-2,6-dioxo-1H-purin-8-yl]amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



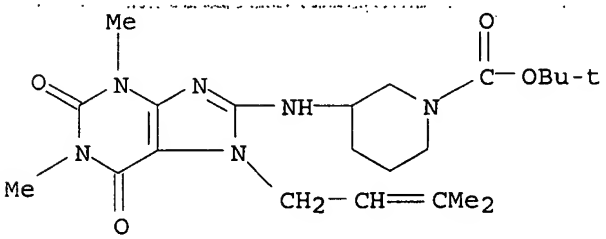
RN 454709-32-1 CAPLUS
CN 1-Piperidinecarboxylic acid, 3-[methyl[2,3,6,7-tetrahydro-1,3-dimethyl-7-(3-methyl-2-butenyl)-2,6-dioxo-1H-purin-8-yl]amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



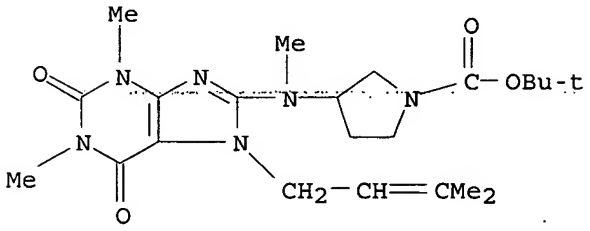
RN 454709-34-3 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[2,3,6,7-tetrahydro-1,3-dimethyl-7-(3-methyl-2-butenyl)-2,6-dioxo-1H-purin-8-yl]amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 454709-35-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 3-[[2,3,6,7-tetrahydro-1,3-dimethyl-7-(3-methyl-2-butenyl)-2,6-dioxo-1H-purin-8-yl]amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 454709-37-6 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 3-[methyl[2,3,6,7-tetrahydro-1,3-dimethyl-7-(3-methyl-2-butenyl)-2,6-dioxo-1H-purin-8-yl]amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)




REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:240775 CAPLUS
DOCUMENT NUMBER: 136:263171
TITLE: Preparation of arylmethyl-1H-purine-2,6-diones as xanthine phosphodiesterase V inhibitors
INVENTOR(S): Chackalamannil, Samuel; Wang, Yuguang; Boyle, Craig D.; Stamford, Andrew W.
PATENT ASSIGNEE(S): Schering Corporation, USA
SOURCE: PCT Int. Appl., 127 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2002024698 | A1 | 20020328 | WO 2001-US28983 | 20010917 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 2002169174 | A1 | 20021114 | US 2001-940760 | 20010828 |
| US 6821978 | B2 | 20041123 | | |
| CA 2421910 | AA | 20020328 | CA 2001-2421910 | 20010917 |
| AU 2001091022 | A5 | 20020402 | AU 2001-91022 | 20010917 |
| EP 1319003 | A1 | 20030618 | EP 2001-971092 | 20010917 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| BR 2001013953 | A | 20030722 | BR 2001-13953 | 20010917 |
| JP 2004509892 | T2 | 20040402 | JP 2002-529108 | 20010917 |
| NZ 524433 | A | 20040924 | NZ 2001-524433 | 20010917 |
| NO 2003001238 | A | 20030514 | NO 2003-1238 | 20030318 |
| US 2004167137 | A1 | 20040826 | US 2004-777849 | 20040212 |
| US 2004229885 | A1 | 20041118 | US 2004-864218 | 20040609 |

PRIORITY APPLN. INFO.: US 2000-233567P P 20000919
US 2001-940760 A3 20010828
WO 2001-US28983 W 20010917
OTHER SOURCE(S): CASREACT 136:263171; MARPAT 136:263171
ED Entered STN: 28 Mar 2002
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. [I; R1, R2 independently = C1-15 alkyl, C2-15 alkenyl, C2-15 alkynyl, C3-15 cycloalkyl, heteroaryl, OH, CO2H, CHO, CONH2, H; R3 = aryl, heteroaryl; R4 = C3-15 cycloalkyl with or without one or more substituents, C3-15 cycloalkenyl, with or without one or more substituents, heterocycloalkyl of 3 to 15 members, with or without one or more substituents], enantiomers, stereoisomers, tautomers and/or prodrug are prepared as xanthine phosphodiesterase V inhibitors and are useful for treating male (erectile) and female sexual dysfunction and other physiol. disorders. Method for treating disorders including title compds. I and/or with nitrate donating pharmaceutical composition and comprising a prostanoid, α-adrenergic receptor, dopamine receptor agonist, etc. Thus, the title compound II was prepared from bromotheophylline, 6-chloropiperonyl chloride, and cyclohexylamine in the presence of 1-methyl-2-pyrrolidinone (NMP) and diisopropylethylamine (DIPEA) in sealed tube ate 160°.

IC ICM C07D473-04
ICS C07D473-06; C07D473-08; A61K031-522; A61P015-00; A61P009-00

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 63

IT **405214-54-2P** 405214-59-7P 405214-60-0P 405214-61-1P
405214-62-2P 405214-63-3P **405214-64-4P** 405214-72-4P
405214-79-1P 405215-11-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of arylmethyl-1H-purine-2,6-diones as xanthine phosphodiesterase V inhibitors)

IT 309937-39-1P 359697-98-6P 359901-51-2P 359901-85-2P 359902-72-0P
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**405215-37-4P 405215-38-5P 405215-39-6P**
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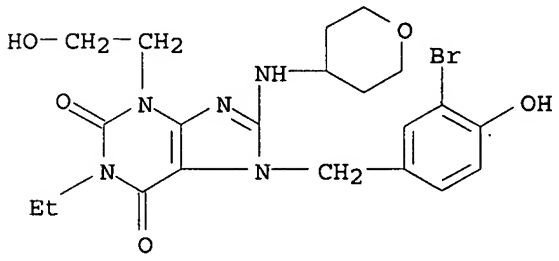
**405215-43-2P 405215-44-3P 405215-45-4P**
**405215-46-5P 405215-47-6P** 405215-48-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

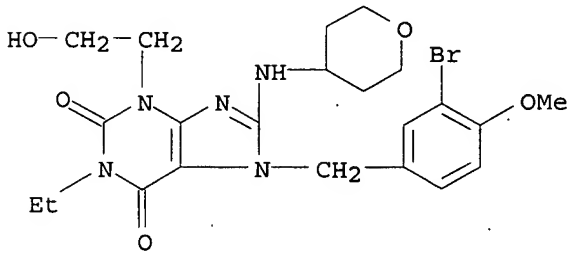
(Uses)
(xanthine phosphodiesterase v inhibitors)

IT **405214-54-2P 405214-64-4P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of arylmethyl-1H-purine-2,6-diones as xanthine phosphodiesterase V inhibitors)

RN 405214-54-2 CAPLUS
CN 1H-Purine-2,6-dione, 7-[(3-bromo-4-hydroxyphenyl)methyl]-1-ethyl-3,7-dihydro-3-(2-hydroxyethyl)-8-[(tetrahydro-2H-pyran-4-yl)amino]- (9CI) (CA INDEX NAME)

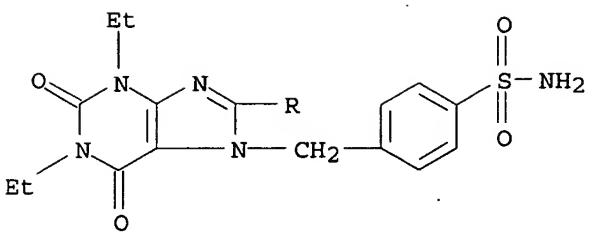


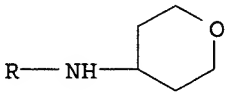
RN 405214-64-4 CAPLUS
CN 1H-Purine-2,6-dione, 7-[(3-bromo-4-methoxyphenyl)methyl]-1-ethyl-3,7-dihydro-3-(2-hydroxyethyl)-8-[(tetrahydro-2H-pyran-4-yl)amino]- (9CI) (CA INDEX NAME)



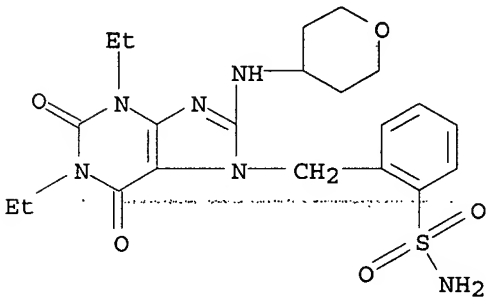
IT **405215-37-4P 405215-38-5P 405215-39-6P**
**405215-40-9P 405215-41-0P 405215-42-1P**
**405215-43-2P 405215-44-3P 405215-45-4P**
**405215-46-5P 405215-47-6P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(xanthine phosphodiesterase v inhibitors)

RN 405215-37-4 CAPLUS
CN Benzenesulfonamide, 4-[[1,3-diethyl-1,2,3,6-tetrahydro-2,6-dioxo-8-[(tetrahydro-2H-pyran-4-yl)amino]-7H-purin-7-yl]methyl]- (9CI) (CA INDEX NAME)

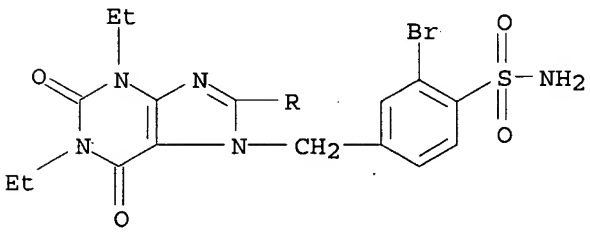


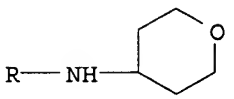


RN 405215-38-5 CAPLUS
CN Benzenesulfonamide, 2-[[1,3-diethyl-1,2,3,6-tetrahydro-2,6-dioxo-8-[(tetrahydro-2H-pyran-4-yl)amino]-7H-purin-7-yl]methyl]- (9CI) (CA INDEX NAME)



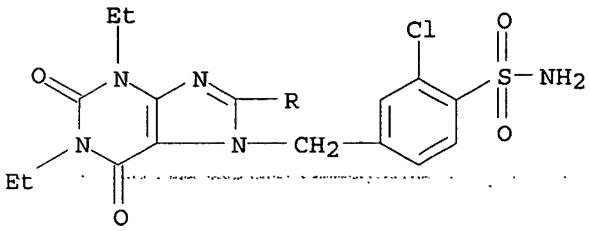
RN 405215-39-6 CAPLUS
CN Benzenesulfonamide, 2-bromo-4-[[1,3-diethyl-1,2,3,6-tetrahydro-2,6-dioxo-8-[(tetrahydro-2H-pyran-4-yl)amino]-7H-purin-7-yl]methyl]- (9CI) (CA INDEX NAME)

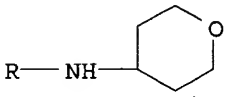




RN 405215-40-9 CAPLUS
CN Benzenesulfonamide, 2-chloro-4-[[1,3-diethyl-1,2,3,6-tetrahydro-2,6-dioxo-

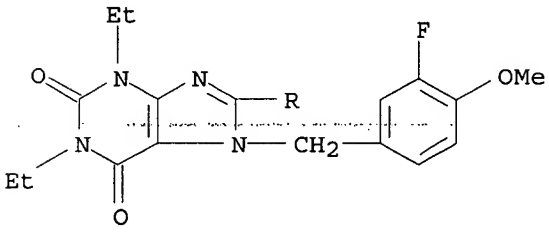
8-[(tetrahydro-2H-pyran-4-yl)amino]-7H-purin-7-yl]methyl]- (9CI) (CA INDEX NAME)

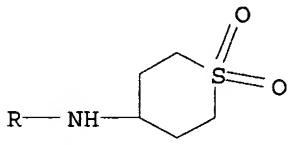




RN 405215-41-0 CAPLUS

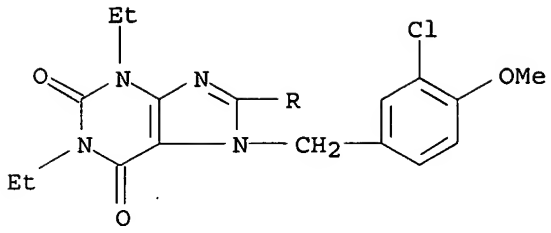
CN 1H-Purine-2,6-dione, 1,3-diethyl-7-[(3-fluoro-4-methoxyphenyl)methyl]-3,7-dihydro-8-[(tetrahydro-1,1-dioxido-2H-thiopyran-4-yl)amino]- (9CI) (CA INDEX NAME)

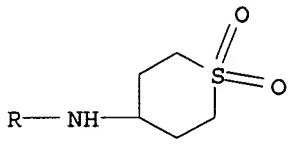




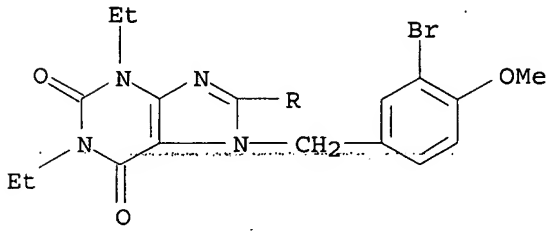
RN 405215-42-1 CAPLUS

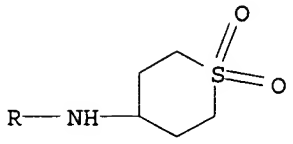
CN 1H-Purine-2,6-dione, 7-[(3-chloro-4-methoxyphenyl)methyl]-1,3-diethyl-3,7-dihydro-8-[(tetrahydro-1,1-dioxido-2H-thiopyran-4-yl)amino]- (9CI) (CA INDEX NAME)



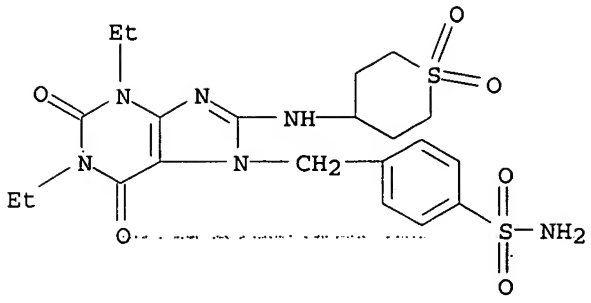


RN 405215-43-2 CAPLUS
CN 1H-Purine-2,6-dione, 7-[(3-bromo-4-methoxyphenyl)methyl]-1,3-diethyl-3,7-dihydro-8-[(tetrahydro-1,1-dioxido-2H-thiopyran-4-yl)amino]- (9CI) (CA INDEX NAME)

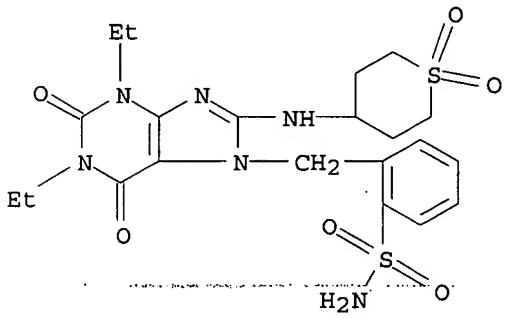




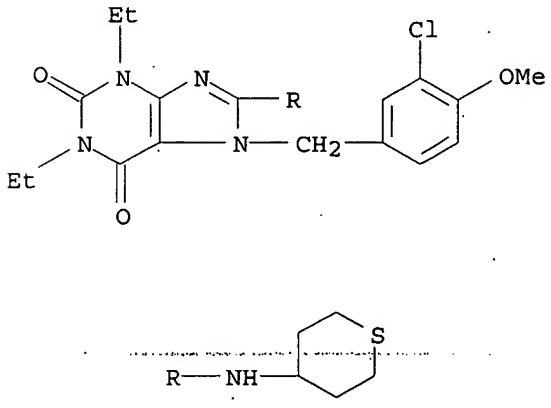
RN 405215-44-3 CAPLUS
CN Benzenesulfonamide, 4-[[1,3-diethyl-1,2,3,6-tetrahydro-2,6-dioxo-8-[(tetrahydro-1,1-dioxido-2H-thiopyran-4-yl)amino]-7H-purin-7-yl]methyl]- (9CI) (CA INDEX NAME)



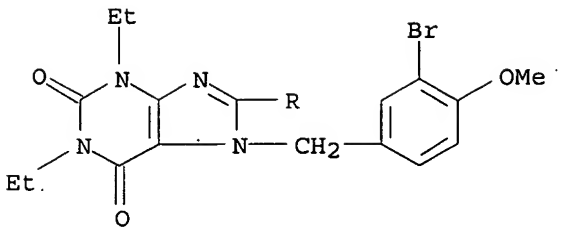
RN 405215-45-4 CAPLUS
CN Benzenesulfonamide, 2-[[1,3-diethyl-1,2,3,6-tetrahydro-2,6-dioxo-8-[(tetrahydro-1,1-dioxido-2H-thiopyran-4-yl)amino]-7H-purin-7-yl]methyl]- (9CI) (CA INDEX NAME)

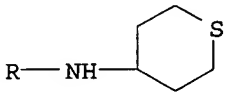


RN 405215-46-5 CAPLUS
CN 1H-Purine-2,6-dione, 7-[(3-chloro-4-methoxyphenyl)methyl]-1,3-diethyl-3,7-dihydro-8-[(tetrahydro-2H-thiopyran-4-yl)amino]- (9CI) (CA INDEX NAME)



RN 405215-47-6 CAPLUS
CN 1H-Purine-2,6-dione, 7-[(3-bromo-4-methoxyphenyl)methyl]-1,3-diethyl-3,7-dihydro-8-[(tetrahydro-2H-thiopyran-4-yl)amino]- (9CI) (CA INDEX NAME)





REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 6 OF 7 USPATFULL on STN
ACCESSION NUMBER: 2002:301629 USPATFULL
TITLE: Xanthine phosphodiesterase V inhibitors
INVENTOR(S): Chackalamannil, Samuel, East Brunswick, NJ, UNITED STATES
Wang, Yuguang, North Brunswick, NJ, UNITED STATES
Boyle, Craig D., Branchburg, NJ, UNITED STATES
Stamford, Andrew W., Chatham Township, NJ, UNITED STATES

| | NUMBER | KIND | DATE | |
|---|---|---|---|---|
| PATENT INFORMATION: | US 2002169174 | A1 | 20021114 | |
| | US 6821978 | B2 | 20041123 | |
| APPLICATION INFO.: | US 2001-940760 | A1 | 20010828 | (9) |

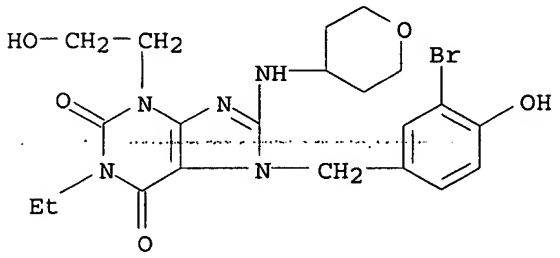
| | NUMBER | DATE |
|---|---|---|
| PRIORITY INFORMATION: | US 2000-233567P | 20000919 (60) |

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: SCHERING-PLOUGH CORPORATION, PATENT DEPARTMENT (K-6-1, 1990), 2000 GALLOPING HILL ROAD, KENILWORTH, NJ, 07033-0530
NUMBER OF CLAIMS: 40
EXEMPLARY CLAIM: 1
LINE COUNT: 2139
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB A xanthine phosphodiesterase V inhibitor having the formula (I), with the variables defined herein, which is especially useful for treating male (erectile) and female sexual dysfunction and other physiological disorders: ##STR1##

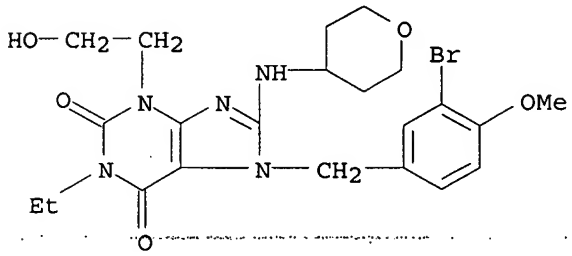
For example, a representative compound of the invention is: ##STR2##

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **405214-54-2P 405214-64-4P**
(preparation of arylmethyl-1H-purine-2,6-diones as xanthine phosphodiesterase V inhibitors)
RN 405214-54-2 USPATFULL

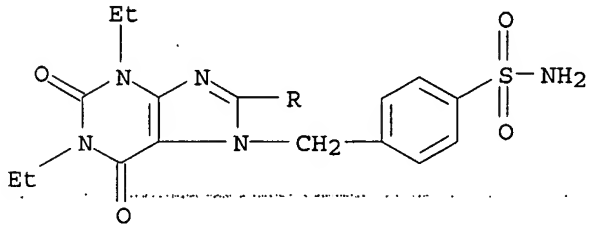
CN 1H-Purine-2,6-dione, 7-[(3-bromo-4-hydroxyphenyl)methyl]-1-ethyl-3,7-dihydro-3-(2-hydroxyethyl)-8-[(tetrahydro-2H-pyran-4-yl)amino]- (9CI) (CA INDEX NAME)

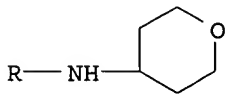


RN 405214-64-4 USPATFULL
CN 1H-Purine-2,6-dione, 7-[(3-bromo-4-methoxyphenyl)methyl]-1-ethyl-3,7-dihydro-3-(2-hydroxyethyl)-8-[(tetrahydro-2H-pyran-4-yl)amino]- (9CI) (CA INDEX NAME)

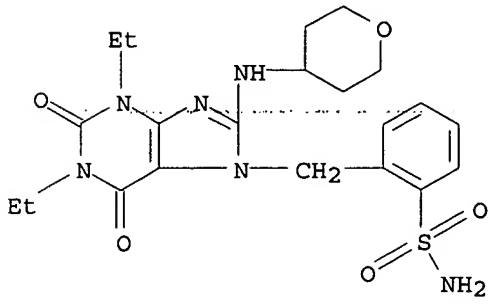


IT **405215-37-4P 405215-38-5P 405215-39-6P**
**405215-40-9P 405215-41-0P 405215-42-1P**
**405215-43-2P 405215-44-3P 405215-45-4P**
**405215-46-5P 405215-47-6P**
(xanthine phosphodiesterase v inhibitors)
RN 405215-37-4 USPATFULL
CN Benzenesulfonamide, 4-[[1,3-diethyl-1,2,3,6-tetrahydro-2,6-dioxo-8-[(tetrahydro-2H-pyran-4-yl)amino]-7H-purin-7-yl]methyl]- (9CI) (CA INDEX NAME)

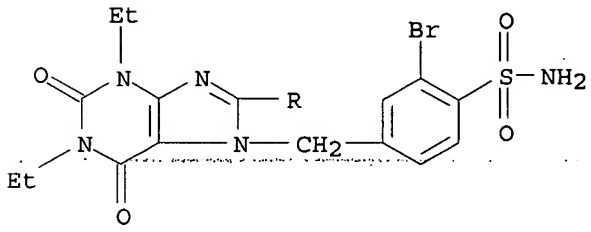


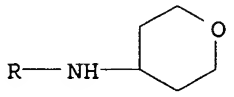


RN 405215-38-5 USPATFULL
CN Benzenesulfonamide, 2-[[1,3-diethyl-1,2,3,6-tetrahydro-2,6-dioxo-8-[(tetrahydro-2H-pyran-4-yl)amino]-7H-purin-7-yl]methyl]- (9CI) (CA INDEX NAME)

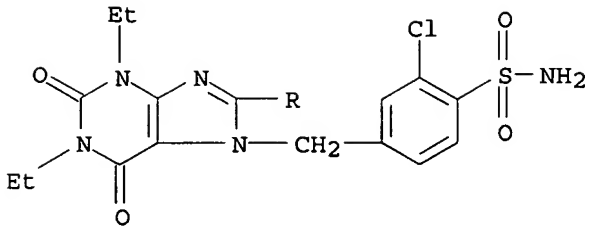


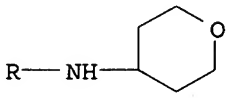
RN 405215-39-6 USPATFULL
CN Benzenesulfonamide, 2-bromo-4-[[1,3-diethyl-1,2,3,6-tetrahydro-2,6-dioxo-8-[(tetrahydro-2H-pyran-4-yl)amino]-7H-purin-7-yl]methyl]- (9CI) (CA INDEX NAME)



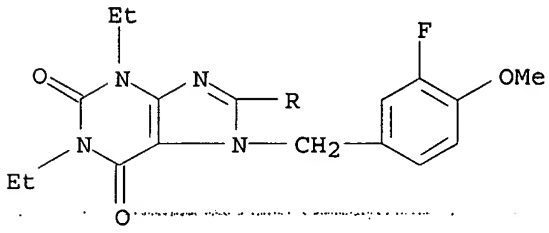


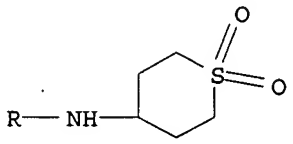
RN 405215-40-9 USPATFULL
CN Benzenesulfonamide, 2-chloro-4-[[1,3-diethyl-1,2,3,6-tetrahydro-2,6-dioxo-8-[(tetrahydro-2H-pyran-4-yl)amino]-7H-purin-7-yl]methyl]- (9CI) (CA INDEX NAME)



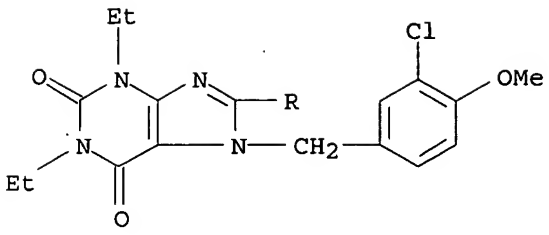


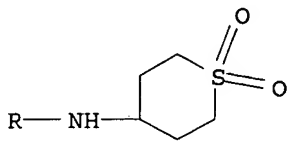
RN 405215-41-0 USPATFULL
CN 1H-Purine-2,6-dione, 1,3-diethyl-7-[(3-fluoro-4-methoxyphenyl)methyl]-3,7-dihydro-8-[(tetrahydro-1,1-dioxido-2H-thiopyran-4-yl)amino]- (9CI) (CA INDEX NAME)



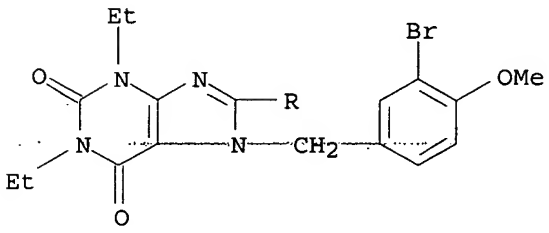


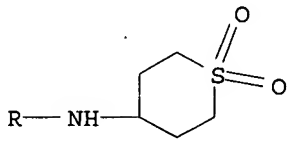
RN 405215-42-1 USPATFULL
CN 1H-Purine-2,6-dione, 7-[(3-chloro-4-methoxyphenyl)methyl]-1,3-diethyl-3,7-dihydro-8-[(tetrahydro-1,1-dioxido-2H-thiopyran-4-yl)amino]- (9CI) (CA INDEX NAME)



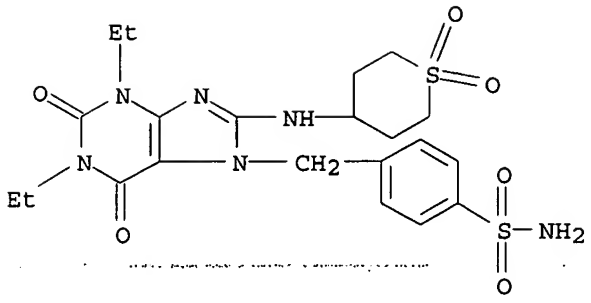


RN 405215-43-2 USPATFULL
CN 1H-Purine-2,6-dione, 7-[(3-bromo-4-methoxyphenyl)methyl]-1,3-diethyl-3,7-dihydro-8-[(tetrahydro-1,1-dioxido-2H-thiopyran-4-yl)amino]- (9CI) (CA INDEX NAME)

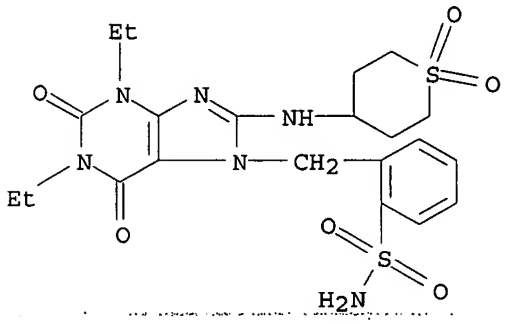




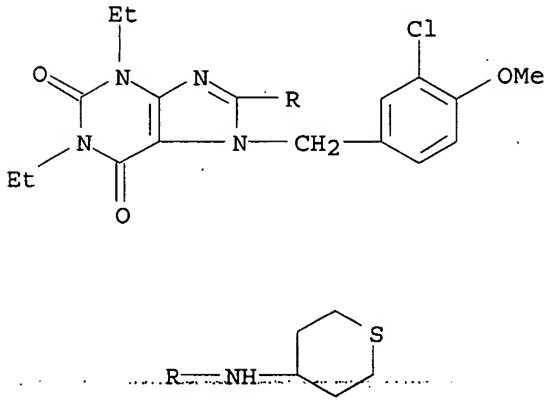
RN 405215-44-3 USPATFULL
CN Benzenesulfonamide, 4-[[1,3-diethyl-1,2,3,6-tetrahydro-2,6-dioxo-8-[(tetrahydro-1,1-dioxido-2H-thiopyran-4-yl)amino]-7H-purin-7-yl]methyl]- (9CI) (CA INDEX NAME)



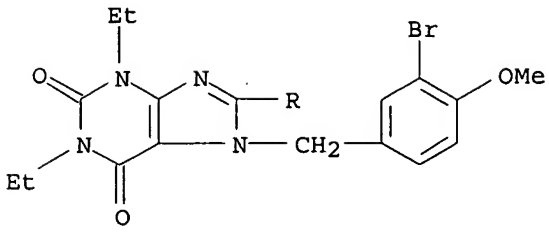
RN 405215-45-4 USPATFULL
CN Benzenesulfonamide, 2-[[1,3-diethyl-1,2,3,6-tetrahydro-2,6-dioxo-8-[(tetrahydro-1,1-dioxido-2H-thiopyran-4-yl)amino]-7H-purin-7-yl]methyl]- (9CI) (CA INDEX NAME)

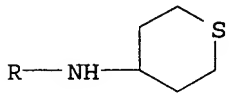


RN 405215-46-5 USPATFULL
CN 1H-Purine-2,6-dione, 7-[(3-chloro-4-methoxyphenyl)methyl]-1,3-diethyl-3,7-dihydro-8-[(tetrahydro-2H-thiopyran-4-yl)amino]- (9CI) (CA INDEX NAME)



RN 405215-47-6 USPATFULL
CN 1H-Purine-2,6-dione, 7-[(3-bromo-4-methoxyphenyl)methyl]-1,3-diethyl-3,7-dihydro-8-[(tetrahydro-2H-thiopyran-4-yl)amino]- (9CI) (CA INDEX NAME)

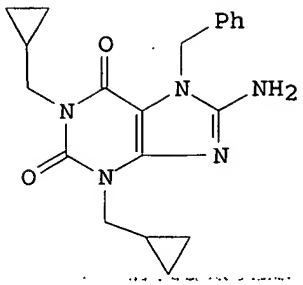




L6 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1992:551010 CAPLUS
DOCUMENT NUMBER: 117:151010
TITLE: 7-alkyl-8-aminoxanthine and 7-alkyl-8-chloroxanthine derivatives, a method for their preparation and their use as phosphodiesterase inhibitor, antiallergic and for treatment of eosinophilia
INVENTOR(S): Buckle, Derek Richard; Smith, David Glynn; Fenwick, Ashley Edward
PATENT ASSIGNEE(S): Beecham Group PLC, UK
SOURCE: PCT Int. Appl., 54 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9205175 | A1 | 19920402 | WO 1991-GB1633 | 19910923 |
| W: AU, CA, JP, KR, US | | | | |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE | | | | |
| CA 2092430 | AA | 19920327 | CA 1991-2092430 | 19910923 |
| AU 9185413 | A1 | 19920415 | AU 1991-85413 | 19910923 |
| AU 653364 | B2 | 19940929 | | |
| EP 550570 | A1 | 19930714 | EP 1991-917224 | 19910923 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE | | | | |
| JP 06501251 | T2 | 19940210 | JP 1991-515543 | 19910923 |
| ZA 9107610 | A | 19920930 | ZA 1991-7610 | 19910924 |
| PRIORITY APPLN. INFO.: | | | GB 1990-20959 A | 19900926 |
| | | | WO 1991-GB1633 A | 19910923 |

OTHER SOURCE(S): CASREACT 117:151010; MARPAT 117:151010
ED Entered STN: 17 Oct 1992
GI



I

AB Certain 7-alkylxanthine derivs. (7-alkyl-1H-purine-2,6-diones) are claimed. A process for their preparation comprises the alkylation of a xanthine derivative Pharmaceuticals containing said compds. are claimed for the treatment of disorders associated with increased nos. of eosinophils and allergic disorders associated with atopy; the compds. are phosphodiesterase inhibitors. These compds. have potential use as inhibitors for tumor necrosis factor, HIV, AIDS, arthritis, and for the treatment of conditions associated with infection (no data). Treatment of 8-amino-1,3-bis(cyclopropylmethyl)xanthine with KOCMe3/DMF and benzyl bromide gave 8-amino-7-benzyl-1,3-bis(cyclopropylmethyl)xanthine (I) in 84% yield. I was active in the treatment of blood eosinophilia in rats and had activity as phosphodiesterase inhibitor.

IC ICM C07D473-06
ICS A61K031-52

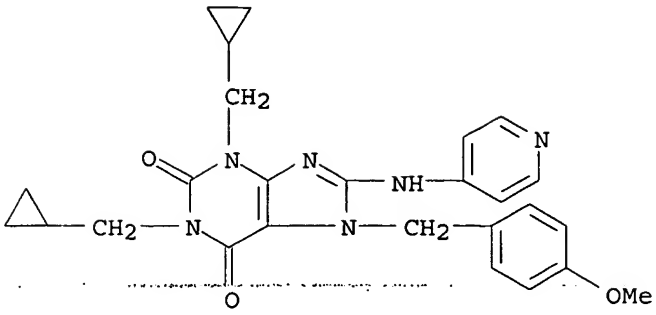
CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1

IT 143095-10-7P 143410-85-9P 143410-86-0P 143410-87-1P 143410-88-2P
143410-89-3P **143410-90-6P** 143410-91-7P 143410-92-8P
143410-93-9P 143410-94-0P 143410-95-1P 143410-96-2P 143410-97-3P
143410-98-4P 143410-99-5P 143411-01-2P 143411-02-3P 143411-03-4P
143411-04-5P 143411-05-6P 143411-06-7P 143411-07-8P 143411-08-9P,
8-Amino-7-benzyl-1,3-bis(cyclopropylmethyl)xanthine
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as phosphodiesterase inhibitor, antiallergic and for treatment of eosinophilia)

IT **143410-90-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as phosphodiesterase inhibitor, antiallergic and for treatment of eosinophilia)

RN 143410-90-6 CAPLUS

CN 1H-Purine-2,6-dione, 1,3-bis(cyclopropylmethyl)-3,7-dihydro-7-[(4-methoxyphenyl)methyl]-8-(4-pyridinylamino)- (9CI) (CA INDEX NAME)



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